	Table 4. Representative EGFR and/or VEGFR Inhibitors
Entry	Name
288	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(pyridin-2-ylmethyl)morpholin-2-ylmethyl}oxy)quinazolin-4-amine
289	//////////////////////////////////////
290	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[2-(4-methylpiperazin-1-yl)-1,3-thiazol-4-
291	yl]methyl $\}$ oxy)quinazolin-4-amine $N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[5-(1-methylpyrrolidin-2-yl)-1,2,4-oxadiazol-$
292	3-yl]methyl}oxy)quinazolin-4-amine N-(3-chloro-4-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-
293	(methyloxy)quinazolin-4-amine 7-{[(4-butyl-1,4-oxazepan-2-yl)methyl]oxy}-N-(3,4-dichlorophenyl)-6-(methyloxy)
	quinazolin-4-amine (3,4-dichlorophenyl)[7-(methyloxy)-6-({[4-(2-methylpropyl)-1,4-oxazepan-2-
294	yl]methyl}oxy) quinazolin-4-amine 7-{[(4-acetyl-1-ethylpiperazin-2-yl)methyl]oxy}-N-(3,4-dichlorophenyl)-6-
295	(methyloxy)quinazolin-4-amine [3,4-dichlorophenyl)(6-{methyloxy}-7-{[(4-pentyl-1,4-oxazepan-2-yl)methyl]oxy}
296	guinazolin-4-amine
297	(3,4-dichlorophenyl)[6-(methyloxy)-7-({[4-(tetrahydro-2H-pyran-2-ylmethyl)-1,4-oxazepan-2-yl]methyl}oxy)quinazolin-4-amine
298	(3,4-dichlorophenyl)[6-(methyloxy)-7-({[4-(3-thienylmethyl)-1,4-oxazepan-2-y]methyl}oxy) quinazolin-4-amine
299	//-[4-chloro-2,5-bis(methyloxy)phenyl]-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6- (methyloxy)quinazolin-4-amine
300	N-(3-bromo-2-methylphenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-
301	7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-(methyloxy)-N-(3,4,5-
302	//-(3-chloro-2-methylphenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6- (methyloxy)quinazolin-4-amine
303	N-(3,4-dichlorophenyl)-7-{[(4-ethanimidoyl-1,4-oxazepan-2-yl)methyl]oxy}-6-
304	(methyloxy)quinazolin-4-amine N-(4-bromo-2-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-
305	(methyloxy)quinazolin-4-amine N-(5-chloro-2-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-
	(methyloxy)quinazolin-4-amine N-(4-chloro-2-fluorophenyl)-7-{{(4-methylmorpholin-2-yl)methyl]oxy}-6-
306	(methyloxy)quinazolin-4-amine N-(2,4-dichlorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-
307	(methyloxy)quinazolin-4-amine N-(2,4-dibromophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-
308	// (
309	trichlorophenyl)quinazqlin-4-amine
310	N-(3,4-dichlorophenyl)-7-{{(1-ethyl-4-methylpiperazin-2-yl)methyl]oxy}-6- (methyloxy)quinazolin-4-amine
311	//-cyano-2-{[{a-{(3.4-dichlorophenyl)amino}-6-(methyloxy)quinazolin-7- yl]oxy methyl)morpholine-4-carboximidamide
312	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[2-(pyrrolidin-1-ylmethyl)-1,3-thiazol-4-
313	M-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(tetrahydro-2H-pyran-4-yl)morpholin-2-yl)methyl)oxy)quinazolin-4-amine

	Table 4. Representative EGFR and/or VEGFR Inhibitors
Entry	Name
314	N-(3,4-dichlorophenyl)-7-({[4-(2-ethylbutyl)morpholin-2-yl]methyl}oxy)-6- (methyloxy)quinazolin-4-amine
315	(methyloxy)cumethyl)morpholin-2-yl]methyl}oxy)-N-(3,4-dichlorophenyl)-6- (methyloxy)quinazolin-4-amine
316	2-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-
317	7-{[(4-but-2-yn-1-ylmorpholin-2-yl)methyl]oxy}-N-(3,4-dichlorophenyl)-6-
318	7-{[(4-cyclobutylmorpholin-2-yl)methyl]oxy}-N-(3,4-dichlorophenyl)-6-
319	N-(3,4-dichlorophenyl)-7-[((4-[2-(1,3-dioxolan-2-yl)ethyl]morpholin-2-yl}methyl)oxy]-
320	7-({[4-(2-cyclohexylethyl)morpholin-2-yl]methyl}oxy)-N-(3,4-dichlorophenyl)-6-
321	N-(3,4-dichlorophenyl)-7-[({4-[2-(1,3-dioxan-2-yl)ethyl]morpholin-2-yl}methyl)oxy]-6-
322	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-pent-4-en-1-ylmorpholin-2-
323	N-(3,4-dichlorophenyl)-7-[({4-[(2R)-2-methylbutyl]morpholin-2-yl}methyl)oxyl-6-
324	N-(3,4-dichlorophenyl)-7-({[4-(4-fluorobutyl)morpholin-2-yl]methyl}oxy)-0-
325	3-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-
326	1-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-/-
327	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-pentylmorpholin-2-
328	N-(3,4-dichlorophenyl)-7-{[(4-hexylmorpholin-2-yl)methyl]oxy}-0-
329	N-(3,4-dichlorophenyl)-7-{[(4-heptylmorpholin-2-yl)methyl]oxy}-6-
330	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-octylmorpholin-2-
331	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(2-phenylethyl)morpholin-2-
332	7-{[(4-butylmorpholin-2-yl)methyl]oxy}-N-(3,4-dichlorophenyl)-6-
333	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-prop-2-en-1-ylmorpholin-2-
334	2-[2-([4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-/-
335	N-(3,4-dichlorophenyl)-7-({[4-(2-fluoroethyl)morpholin-2-yl]methyl]oxy)-6-
336	N-(3,4-dichlorophenyl)-7-({[4-(3-methylbut-2-en-1-yl)morpholin-2-yl]methyl}oxy)-0-
337	7-[((4-[(2E)-3-bromoprop-2-en-1-yl]morpholin-2-yl}methyl)oxyj-N-(3,4-
338	2-[2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-/-
339	yljoxyj memy/jmorpiniii-+ rjaccamise N-(3,4-dichlorophenyl)-6-(methyloxy)-7-[([4-[3-(tetrahydro-2H-pyran-2-yloxy)propyl]- 1,4-oxazepan-2-yl] methyl)oxy]quinazolin-4-amine

	Table 4. Representative EGFR and/or VEGFR Inhibitors
Entry	Name
340	N-(3,4-dichlorophenyl)-7-({[4-(3-methylbutyl)-1,4-oxazepan-2-yl]methyl}oxy)-6- (methyloxy)quinazolin-4-amine
341	7-({[4-(cyclohexy methyl]-1,4-oxazepan-2-yl]methyl}oxy)-4-[(3,4-dichlorophenyl)methyl]-6-(methyloxy)quinazoline
342	7-({[4-(2-cyclohexylethyl)-1,4-oxazepan-2-yl]methyl}oxy)-4-[(3,4-
343	N-(3,4-dichlorophenyl)-7-({[4-(2-ethylbutyl)-1,4-oxazepan-2-yl]methyl}oxy)-6-
344	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(methylsultonyl)-1,4-oxazepan-2-
345	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(1-methylpiperidin-4-yl)morpholin-2-
346	N-(3-chloro-2-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-
347	M-cyano-2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazoiin-/-
348	yloxy methyl-1,4-0xazepair
349	N-(3,4-dichlorophenyl)-7-{[(1,4-diethylpiperazin-2-yl)methyl]oxy}-6-
350	(methyloxy)quinazolin-4-amine 4-((4-{(4-brono-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]oxy}methyl)-N- cyanopiperidine-1-carboximidamide
351	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-([[4-(methylsulfonyl)morpholin-2-
352	y]]methyl}oxy)quinazolin-4-amine N-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({4-[(phenylmethyl)sulfonyl]morpholin-2-
353	yl}methyl)oxy[quinazolin-4-amine N-(3,4-dichlorophenyl)-7-[({4-[(4-fluorophenyl)sulfonyl]morpholin-2-yl}methyl)oxy]-6
354	(methyloxy)quinazolin-4-amine N-(3,4-dichlorophenyl)-7-([[4-(ethylsulfonyl)morpholin-2-yl]methyl)oxy)-6-
355	(methyloxy)quinazolin-4-amine N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(phenylsulfonyl)morpholin-2-
	yl]methyl}oxy)quinazofin-4-amine 7-[((4-[(3-chloropropyl)sulfonyl]morpholin-2-yl}methyl)oxy]-N-(3,4-dichlorophenyl)-6
356	(methyloxy)quinazolin-4-amine 7-(14-(butylsulfonyl)morpholin-2-yl]methyl}oxy)-N-(3,4-dichlorophenyl)-6-
357	(methyloxy)quinazolin-4-amine N-(3 4-dichloronhenyl)-6-(methyloxy)-7-[({4-[(4-methylphenyl)sulfonyl]morpholin-2-
358	yl}methyl)oxy[quinazotin-4-amine \u00e4 (3.4 dichloronhenyl)-7-[(\u00e44-[(3.5-dimethylisoxazol-4-yl)carbonyl]morpholin-2-
359	yl}methyl)oxy]-6-(methyloxy)quinazonn-4-annie W-(3-4-dichlorophenyl)-6-(methyloxy)-7-{[(4-{[3-(methyloxy)phenyl]acetyl}morpholin
360	2-yl)methyl]oxy}quinazolin-4-amine N-(3 4-dichlorophenyl)-6-(methyloxy)-7-({[4-(2-methylpentanoyl)morpholin-2-
361	y]]methyl]oxy]quinazolin.4-amine 7-[({4-[(4-burylphenyl]carbonyl]morpholin-2-yl}methyl)oxy]-N-(3,4-dichlorophenyl)-
362	(methyloxy)quinazolin-4-amine 7-[({4-[(4-chlorophenyl)acetyl]morpholin-2-yl}methyl)oxy]-N-(3,4-dichlorophenyl)-6-
363	/
364	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-([("-(2-prop)-formation)] yl]methyl]oxy)quinazolin-4-amine N-(3,4-dichlorophenyl)-6-(methyloxy)-7-([[4-(4-methylpentanoyl)morpholin-2-
365	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(4-methylpentalioyi)into photol 2 yl]methyl}oxy)quinazolin-4-amine

Entry	Name
366	N-(3,4-dichlorophenyl)-7-[((4-[(2,5-difluorophenyl)carbonyl]morpholin-2- yl}methyl)oxy]-6-(methyloxy)quinazolin-4-amine
367	7-({[4-(cyclopentylcarbonyl)morpholin-2-yl]methyl}oxy)-N-(3,4-dichlorophenyl)-6-
368	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(2-phenylbutanoyl)morpholin-2- yllmethyl}oxylouinazolin-4-amine
369	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-[({4-[(2,3,6- trifluorophenyl)carbonyl)moroholin-2-yl}methyl)oxy]quinazolin-4-amine
370	N-(3,4-dichlorophenyl)-7-({[4-(furan-3-ylcarbonyl)morpholin-2-yl]methyl}oxy)-6-
371	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4-propanoylmorpholin-2-
372	N-(3,4-dichlorophenyl)-7-{{(4-hexanoylmorpholin-2-yl)methyl]oxy}-6-
373	N-(3,4-dichlorophenyl)-7-({[4-(2-ethylhexanoyl)morpholin-2-yl]methyl}oxy)-6-
374	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(3-phenylpropanoyl)morpholin-2-
375	N-(3,4-dichlorophenyl)-7-({[4-(2,2-dimethylpropanoyl)morpholin-2-yl]methyl}oxy)-0-
376	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[4-(naphthalen-1-ylcarbonyl)morpholin-2-
377	7-[((4-[(2-chloropyridin-3-yl)carbonyl]morpholin-2-yl}methyl)oxyj-N-(3,4-
378	7-[((4-[(6-chloropyridin-3-yl)carbonyl]morpholin-2-yl} methyl)oxyj-N-(3,4-
379	7-({[4-(1,3-benzodioxol-5-ylcarbonyl)morpholin-2-yl]metnyl}oxy}-iv-(3,4-
380	N-(3,4-dichlorophenyl)-6-[(1-methylethyl)oxy]-7-[(morpholin-2-
381	ymetny/xx) yquanacy //-(3,4-dichlorophenyl)-6-{[2-(methyloxy)ethyl]oxy}-7-[(morpholin-2- ymethyl)oxy]quinazolin-4-amine
382	N-(3,4-dichlorophenyl)-6-(ethyloxy)-7-[(morpholin-2-ylmethyl)oxy]quinazolin-4-amine
383	N-(3,4-dichlorophenyl)-6-(ethyloxy)-7-{[(4-methylmorpholin-2-y)]methyl]oxy}quinazolin-4-amine
384	N-(4-bromo-2-methylphenyl)-7-{[(4-methylmorpholin-2-yl)methyljoxy}-6-
385	N-(4-chloro-3-methylphenyl)-7-{[(4-methylmorpholin-2-yl)methyljoxy}-6-
386	(metnyloxy)quinazoniii
387	N-(4-bromo-3-chlorophenyl)-7-{[(4-methylmorpholin-2-yl)methyljoxy}-6-
388	N-(3,4-dichlorophenyl)-6-[(1-methylethyl)oxy]-7-[[(4-methylmorpholin-2-
389	N-(3,4-dichlorophenyl)-7-{[(4-methylmorpholin-2-yl)methyljoxy}-0-{[2-
390	N-(4-bromo-2-chlorophenyl)-7-{[(4-methylmorpholin-2-yl)methyljoxy}-o-
	(methyloxy)quinazolin-4-amine 7-{[(4-acetyl-1,4-oxazepan-2-yl)methyl]oxy}-N-(3,4-dichlorophenyl)-6-

	Table 4. Representative EGFR and/or VEGFR Inhibitors
Entry	Name
392	4-[(3,4-dichlorophenyl)amino]-7-{[(4-methylmorpholin-2-yl)methyl]oxy}quinazolin-6-ol
393	N-(3-bromo-4-chlorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6- (methyloxy)quinazolin-4-amine
394	3-[2-({[4-[(3,4-dichlorophenyi)amino]-6-(methyloxy)quinazolin-7- yl]oxy} methyl)morpholin-4-yl]-3-oxopropanoic acid
395	methyl 4-[2-([4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7- ylloxy) methyl)morpholin-4-yl]-4-oxobutanoate
396	\(\gamma_1\lambda_1\lambda_1\lambda_2\gamma_1\rambda_1\rambda_2\rambda_1\rambda1\rambda_1\rambda1\rambda_1\rambda_1\rambda_1\rambda_1\rambda1
397	N-(3-bromo-2-chlorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-
398	(methyloxy)quinazolin-4-amine N-cyano-2-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-
399	ylloxy]methyl)-N-[2-(methyloxy)ethyl]morpholine-4-carboximidamide N-cyano-2-{{{4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-
	yl]oxy}methyl)-N-ethylmorpholine-4-carboximidamide [CIFX-72-/[IA-I/3 4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-
400	yl]oxy}methyl)morpholin-4-yl](piperidin-1-yl)methylidene]cyanamide
401	\(\(\(\)\)\\\\\\\\\\\\\\\\\\\\\\\\\\\\
402	wilowy methyl)mornholin-4-yll(4-methylpiperazin-1-yl)methylidene cyanamide
403	//////////////////////////////////////
404	//(4-methylmorpholin-2-yl)methylphenyl)-7-[[(4-methylmorpholin-2-yl)methyl]oxy)-6- (methyloxy)quinazolin-4-amine
405	(Mcdaylosy)-games M-(3,4-dichlorophenyl)-7-{[(6,6-dimethylmorpholin-2-yl)methyl]oxy}-6- (methyloxy)-guinazolin-4-amine
406	//-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4,6,6-trimethylmorpholin-2-y)]methyl]oxy}quinazolin-4-amine
407	N-(3,4-dichlorophenyl)-7-{[2-(5,5-dimethylmorpholin-2-yl)ethyl]oxy}-6-
	(methyloxy)quinazolin-4-amine N-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[2-(4,5,5-trimethylmorpholin-2-
408	yl)ethyl]oxy} quinazolin-4-amine 1.1dimethylethyl 2-(2-{[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-
409	yi]oxyjethyl)-5,5-dimethylmorpholine-4-carboxylate N-(3,4-dichlorophenyl)-6-(methyloxy)-7-{[(4,5,5-trimethylmorpholin-2-
410	y]methyl]oxy}quinazolin-4-amine N-(4-bromo-2,3-dichlorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6-
411	(methyloxylouinazolin-4-amine
412	(incuty xs) yearnesses (incuty xs) (incut x
413	(meanyssy)-7
414	N-(4-bromo-2,3-difluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6- (methyloxy)quinazolin-4-amine
415	//-(4-methylmorpholin-2-yl)methyl]oxy}-6- (methyloxy)quinazolin-4-amine
416	(metnyloxy)quinazorim N-(4-bromo-3,5-diffuorophenyl)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6- (methyloxy)quinazolin-4-amine
417	(methyloxy)quinazoini-4-amine N-(3,4-dichloro-2-methylpheny)-7-{[(4-methylmorpholin-2-yl)methyl]oxy}-6- (methyloxy)quinazoilin-4-amine

	Table 4. Representative EGFR and/or VEGFR Inhibitors
Entry	Name
418	N-(3,4-dichlorophenyl)-7-({[(2R,5S,6S)-5,6-dimethylmorpholin-2-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
419	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[(2R,5S,6S)-4,5,6-trimethylmorpholin-2-
420	N-(3,4-dichlorophenyl)-6-(methyloxy)-7-({[(2\$,5\$,6\$)-4,5,6-trimethylmorpholin-2-
421	N-(4-bromo-3-chloro-2-methylphenyl)-7-{[(4-methylmorpholin-2-yi)methyljoxy}-0-
422	N-(4-bromo-5-chloro-2-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyljoxy}-6-
423	N-(4-bromo-3-chloro-2-fluorophenyl)-7-{[(4-methylmorpholin-2-yt)methyljoxy}-0-
424	N-(3,4-dichloro-2-fluorophenyl)-7-{[(4-methylmorpholin-2-yl)methyljoxy}-o-
425	N-(3-chloro-2,4-difluorophenyl)-7-{[(4-methylmorpholim-2-yl)methyljoxy}-6-
426	N-(2,3-dichloro-4-methylphenyl)-7-{[(4-methylmorpholin-2-yl)methyljoxy}-0-
427	6-({[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yljoxy}methyl)-3,3,4-
428	N-(4-bromo-2,3-dichlorophenyl)-6-(methyloxy)-7-{[(4,5,5-trimethylmorphotiii-2-
429	N-(4-bromo-5-chloro-2-fluorophenyl)-6-(methyloxy)-7-{[(4,5,5-trimetriyintorphotal-2
430	N-(4,5-dichloro-2-fluorophenyl)-6-(methyloxy)-7-{[(4,5,5-trimethylmorphona-2-
431	N-(3,4-dichloro-2-fluorophenyl)-6-(methyloxy)-7-{[(4,5,5-trimethylliotphoni-2-
432	N-(4-bromo-3-chloro-2-fluorophenyl)-6-(methyloxy)-7-{[(4,5,5-trimethylinorphenin-2
433	N-(3-chloro-2,4-diffuorophenyl)-6-(methyloxy)-7-{[(4,3,5-trimethylinosphosis
434	(6S)-6-({[4-[(4-bromo-3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quinazonii-7-
435	y]loxy) methyl)-4-methylpiperazin-2-one (6S)-6-({[4-[(3,4-dichloro-2-fluorophenyl)amino]-6-(methyloxy)quinazolin-7-
436	(65)-6-([[4-[(3-ruchild)]]) wethyl) 4- methylpiperazin-2-one (65)-6-([[4-[(4-bromo-3-chloro-2-fluorophenyl)]]) mino]-6-(methyloxy)quinazolin-7-
437	(us)-04[[4-(dimethylpiperazin-2-one yl]oxy methyl]-1,4-dimethylpiperazin-2-one (6S)-6-([[4-(3,4-dichloro-2-fluoropheny]]maino]-6-(methyloxy)quinazolin-7-
437	(03)-04[17-7-6] ylloxy] methyl]-1,4-dimethylpiperazin-2-one N-(4-bromo-3-chlorophenyl)-7-1[(38-5,48,65,6a/8)-2,2-dimethyltetrahydrospiro[1,3- N-(4-bromo-3-chlorophenyl)-7-1[(38-5,48,65,6a/8)-2,2-dimethyltetrahydrospiro[1,3-
438	dioxolane-4,3'-furo[3,2-b]furan]-0-yi[oxy]-0-(intentyloxy)-quantum [1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)]-0-(methyloxy)quinazolin-
440	yl]-5-O-methyl-5-C-[(methyloxy)metnyl]-1-guctor 1 4-3 6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-
	yl]-5-O-(methylsulfonyl)-L-glucitol 1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-
441	yl]-L-glucitol 14:3.6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-
442	yl]-5-S-methyl-5-thio-D-iditol 1.4-3.6-diaphydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-
443	yl]-2-deoxy-2-morpholin-4-yl-D-iditol

	Table 4. Representative EGFR and/or VEGFR Inhibitors
Entry	Name
444	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2-deoxy-2-(4-methylpiperazin-1-yl)-D-iditol
445	1,4:3,6-dianhydro-5-O-[4-((4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7- y -2-deoxy-2-pyrrolidin-1-y -D-iditol
446	2-O-acetyl-1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-
447	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-/-
448	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7- yl]-2-deoxy-2-(methylsulfonyl)-D-iditol
449	2-amino-1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-
450	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazoiin-/-
451	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazotin-7-
452	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-/-
453	2-(acetylamino)-1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyt)amino]-6-
454	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(metnyloxy)quiiazonii-7
455	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7
456	N-(4-bromo-3-chlorophenyl)-6-(methyloxy)-7-[(1-methylpyrrolldin-3-yl)0xy]quiliazonii
457	4-amine N-(4-bromo-3-chlorophenyl)-6-(methyloxy)-7-[(3R)-tetrahydrofuran-3-yloxy]quinazolir 4-amine
458	N-(4-bromo-3-chlorophenyl)-6-(methyloxy)-7-{[(3S,4R)-4-(methyloxy)tetrahydrofuran
459	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-(6-(methyloxy)-4-{14-(4-methylpiperazin-1-
460	1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[4-{[3-fluoro-4-(4-metny)piperazin-1-
461	1,4:3,6-dianhydro-2-deoxy-5-O-[4-{[2,3-dichloro-4-(4-methylpiperazin-1-
462	1,4:3,6-dianhydro-2-deoxy-5-O-[4-{[3,4-dichloro-2-(4-methylpiperazin-1-
463	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyi)amino]-o-(incutyioxy)quinazonii
464	(3,4-dichlorophenyl)[6-(methyloxy)-7-({[4-(tetrahydroturan-2-yimethyl)-1,4-0xazepan
465	N-(3,4-dichloro-2-fluorophenyl)-7-{ {({3aR,6aS}-2-{1- methylethyl)octahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-{methyloxy)quinazolin amine
466	N-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3aR,6aS)-2-(1-methylethyl)octahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin
467	7-({[(3aR,6aS)-2-acetyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-N-(4-bromo-3
468	N-(4-bromo-3-chloro-2-fluorophenyl)-6-(methyloxy)-7-{[(3aR,6aS)-octahydrocyclopenta[c]pyrrol-5-ylmethyl]oxy}-quinazolin-4-amine

	Table 4. Representative EGFR and/or VEGFR Inhibitors
Entry	Name
469	ethyl (3aR,5r,6aS)-5-[({4-{(4-bromo-3-chloro-2-fluorophenyl)amino]-6- (methyloxy)quinazolin-7-yl} oxy)methyl]hexahydrocyclopenta[c]pyrrole-2(1H)- carboxylate
470	N-(4-bromo-3-chloro-2-fluorophenyl)-6-(methyloxy)-7-({[(3aR,6aS)-2-(methylsulfonyl)octahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)quinazolin-4-amine
471	N-(3,4-dichloro-2-fluorophenyl)-7-({[(3aR,6aS)-2-ethyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
472	N-(3,4-dichloro-2-fluorophenyl)-6-(methyloxy)-7-({[(3aR,6aS)-2-(2-methylpropyl)octahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)quinazolin-4-amine
473	N-(3,4-dichloro-2-fluorophenyl)-7-({((3aR,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5-y] methyl}oxy)-6-(methyloxy)quinazolin-4-amine
474	N-(3,4-dichloro-2-fluorophenyl)-7-({[(3aR,5r,6aS)-2-methyloctahydrocyclopenta{c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
475	N-(4-bromo-3-chloro-2-fluorophenyl)-7-{{{(3aR,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
476	N-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3aR,5r,6aS)-2-methyloctahydrocyclo- penta[c]pyrrol-5-yllmethyl}oxy)-6-(methyloxy)quinazolin-4-amine
477	N-(3-chloro-2,4-difluorophenyl)-7-({((3aR,6aS)-2-methyloctahydrocyclopenta[c]pyrrol- 5-vl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
478	N-(3-chloro-2,4-difluorophenyl)-7-({[(3aR,5r,6aS)-2-methyloctahydrocyclopenta- [c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
479	N-(4,5-dichloro-2-fluorophenyl)-7-({[(3aR,6aS)-2-methyloctahydrocyclopenta[c]pyrrol- 5-v lmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
480	N-(4,5-dichloro-2-fluorophenyl)-7-({[(3aR,5r,6aS)-2-methyloctahydrocyclo- penta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
481	N-(4-bromo-5-chloro-2-fluorophenyl)-7-({[(3aR,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
482	N-(4-bromo-5-chloro-2-fluorophenyl)-7-({[(3aR,5r,6aS)-2-methyloctahydrocyclo- penta[cipyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
483	N-(4-bromo-2,3-dichlorophenyl)-7-({((3aR,6aS)-2-methyloctahydrocyclopenta[c]pyrrol- 5-vilmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
484	N-(4-bromo-2,3-dichlorophenyl)-7-({[(3aR,5r,6aS)-2-methyloctahydrocyclo- nentalclnymol-5-yllmethyl)oxy)-6-(methyloxy)quinazolin-4-amine
485	N-(3,4-dichlorophenyl)-7-{{((3aR,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
486	N-(3,4-dichlorophenyl)-7-{{[(3aR,5r,6aS)-2-methyloctahydrocyclopenta[c]pyrrol-5- yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
487	N-(4-bromo-3-chloro-2-fluorophenyl)-7-({[(3aR,6aS)-2- ethyloctahydrocyclopenta[c]pyrrol-5-yl]methyl}oxy)-6-(methyloxy)quinazolin-4-amine
488	N-(4-bromo-3-chloro-2-fluorophenyl)-6-(methyloxy)-7-({[(3aR,6aS)-2-(2- methyloropyl)octahydrocyclopenta[c]pyrrol-5-y]]methyl}oxy)quinazolin-4-amine
489	N-(3,4-dichlorophenyl)-7-[(2-{[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]amino}ethyl)oxy]-6-(methyloxy)quinazolin-4-amine
490	N-(3,4-dichlorophenyl)-7-({2-[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3- yllethyl}oxyl-6-(methyloxylouinazolin-4-amine
491	N-(3,4-dichlorophenyl)-7-({[(3-endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-
492	N-(3,4-dichlorophenyl)-7-{[(3-exo)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl]oxy}-6- (methyloxy)guinazolin-4-amine
493	1,1-dimethylethyl (3aR,6aS)-5-{{[4-{(4-bromo-3-chloro-2-fluoropheny)]amino}-6- (methyl-oxy)quinazolin-7-yl]oxy} methyl)hexahydrocyclopenta[c]pyrrole-2(1H)- carboxylate

	Table 4. Representative EGFR and/or VEGFR Inhibitors
Entry	Name
	1,1-dimethylethyl (3aR,6aS)-5-({[4-[(3,4-dichloro-2-fluorophenyl)amino]-6-
494	(methyloxy)quinazolin-7-yl]oxy)methyl) hexahydrocyclopenta-[c]pyrrole-2(1H)-carboxylate
495	N-(3,4-dichloro-2-fluorophenyl)-6-(methyloxy)-7-{[[(3aR,5r,6aS)-octahydrocyclopenta[c]pyrrol-5-yl]methyl]oxy}quinazolin-4-amine
496	7-{[(3-endo)-8-azabicyclo[3.2.1]oct-3-ylmethyl]oxy}-N-(3,4-dichlorophenyl)-6- (methyloxy)quinazolin-4-amine
497	1,1-dimethylethyl (3-endo)-3-(2-{[4-[(3,4-dichlorophenyl)amino]-6- (methyloxy)quinazolin-7-ylloxy}ethyl)-8-azabicyclo[3.2.1]octane-8-carboxylate and
498	7-({2-[(3-endo)-8-azabicyclo[3.2.1]oct-3-yl]ethyl}oxy)-N-(3,4-dichlorophenyl)-6-
499	1,4:3,6-Dianhydro-5-O-[4-[(3,4-dichlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]-2- O-methyl-D-glucitol
500	3,6-Anhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-yl]- 1,2-O-(1-methylethylidene)-□-D-idofuranose
501	1,4:3,6-dianhydro-5-O-{4-[(3-chloro-2-fluorophenyl)amino]-6-(methyloxy)quin-azolin-7-yl}-2-deoxy-2-fluoro-L-iditol
502	1,4:3,6-dianhydro-2-O-[4-(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7- yl]-5-O-(methylsulfonyl)-D-glucitol
503	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7- yl]-D-glucitol
504	yl-b-guettol 1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7- yl]-5-S-methyl-5-thio-L-iditol
505	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-/-
506	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7-
507	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-/-
508	2-O-acetyl-1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-
509	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-/-
510	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-/-
511	2-amino-1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-
512	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-/-
513	1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-/-
514	1,4:3,6-dianhydro-5-O-14-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7- yl]-2-deoxy-2-piperidin-1-yl-L-iditol
515	2-(acetylamino)-1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-
516	1,4:3,6-dianhydro-2-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-/-
517	y 5-U-meny -5-C-(minus/meny)-y -1,4:3,6-dianhydro-5-O-[4-[(4-bromo-3-chlorophenyl)amino]-6-(methyloxy)quinazolin-7- y 2-deoxy-2-[(methylsulfonyl)amino]-L-iditol
518	y]-2-deoxy-2-(metnyisuloniy)aamind-zador 1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-0-(6-(methyloxy)-4-{[4-(4-methylpiperazin-1-y)phenyl]amino]quinazolin-7-yl)-L-iditol
519	yl)phenyl]amino }-quinazolin-7-yl)-t-iunou 1,4:3,6-dianhydro-2-deoxy-2-fluoro-5-O-[4-{[3-fluoro-4-(4-methylpiperazin-l- yl)phenyl]amino}-6-(methyloxy)quinazolin-7-yl]-L-iditol

	Table 4. Representative EGFR and/or VEGFR Inhibitors	
Entry	Name	
520	1,4:3,6-dianhydro-2-deoxy-5-O-[4-{[2,3-dichloro-4-(4-methylpiperazin-1-yl)phenyl]amino}-6-(methyloxy)quinazolin-7-yl]-2-fluoro-L-iditol and	
521	1,4:3,6-dianhydro-2-deoxy-5-O-[4-{[3,4-dichloro-2-(4-methylpiperazin-1-yl)phenyl]amino}-6-(methyloxy)quinazolin-7-yl]-2-fluoro-L-iditol and	
	a single geometric isomer, stereoisomer, racemate, enantiomer, or diastereomer, thereof and optionally as a pharmaceutically acceptable salt, solvate, or hydrate thereof.	

Tal	ole 5a. Representative IGF-1R Inhibitors
Entry	Structure
1	H _C C N H C N
2	CH ₃ -NH N N O N
3	H,C CH,
4	NH-N-CH ₃
5	NH-N NH NH C N O-CH ₃

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
6	NH NH ON
7	CH ₃ NH
8	N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-
9	CI N N O N

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
10	NH-N CH ₃ NH CH ₃ Br N N N N N N N N N N N N N N N N N N N	
11	CH ₃ NH-N NH-N NH-N NH-N NH-N NH-N NH-N NH-	
12	N N N H C N	
13	NH N CH ₃	
14	BY Z ZI	
15	Br NH NH CH CH CCH CCH S	

Ta	Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure		
16	Br CH3		
17	NH-N NH-N NH-N NH-N NN-N NN-N NN-N NN-N		
18	CH3 N N C-N		
19	NH-N CH ₃		
20	Br NH NH2		
21	CH ₃ NH C		

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
22	NH-N NH NH CI NH OH ₃ O	
23	NH NH NN N	
24	BI NH H ₃ C N CH ₃	
25	Br NH NH	
26	NH N N	
27	N-NH NH NH NH N N N N NH H ₃ C CH ₃	

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
28	Br NH-N O NH N-CH ₃	
29	NH-N NH Br NH ₂	
30	Br N N CHs	
31	NH-N NH NH NH NH NH NH NH CH ₃	
32	NH-N NH Br N O-CH ₃	
33	NH-N NH NH N	
34	N-NH NH NH NH NH NH NH NH	
35	NH-N NH NH O N-CH ₃	

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
36	N-NH Br NH CH ₃
37	Br NH
38	NH-N NH-N NH-N NH-N NH-N NH-N CH ₃
39	Br N CH ₃
40	NH-N NH NH NH NN N
41	NH-N NH NH N-NH
42	CH3-N-NI-N-NI-N-NI-N-NI-N-NI-N-NI-N-NI-N-
43	NH-N NH NH O-N

To	ble 5a. Representative IGF-1R	
14	Inhibitors	
Entry	Structure	
44		
45	CH ₃ N-NH N-NH N-NH N-NH N-NH N-NH N-NH N-N	
46	NH-Z-Z-Z-Z-Z-Z-Z-Z-Z-Z-Z-Z-Z-Z-Z-Z-Z-Z-Z	
47	NH-N NH-N NH-N NH-N NH-N NH-N NH-N NH-N	
48	OK N H	
49	NH N	
50	N-NH NH NH NH NH NH NH NH NH NH NH NH NH N	
51	Hac N H	

Table 5a. Representative IGF-1R Inhibitors	
Intry	Structure
52	NH-N NH N-N-CH ₃
53	Br CH ₃ CH ₃ CH ₃ CH ₃
54	CH ₃ NH-N NH CN
55	C C C C C C C C C C C C C C C C C C C
56	NH-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-
57	NH NH CH ₃

Tal	Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure		
58	Bring CH ₃		
59	NH-N NH NH NH N N CH ₃		
60	O-N CH ₃		
61	NH-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-		
62	Br NH NH CH ₃		
63	Br.NH CH3		
64	NH-N (Abb)		
65	Br N O N CH3		

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
66	NH NH NH CI NH NH CI NH	
67	H-SC N H	
68		
69	NH-N-CH ₀	
70	NH-N NH N NH N NH N	
71	Br NH CH3	
72	Br N N N N N N N N N N N N N N N N N N N	
73	NH-N NH NH ₂	

Ta	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
74	H ₂ C N N N N N N N N N N N N N N N N N N N	
75	NH NH CH ₃	
76	NH-N NH O N-CH ₃ CH ₃	
77	Br NH CH ₃ NH	
78	N-NH CH ₃	
79	Br. NH. CH ₃	
80	Br N N N	

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
81	NH-N NH Br N N N N
82	H ₃ C N H
83	NH - Z - Z - Z - Z - Z - Z - Z - Z - Z -
84	NH-N NH NH N
85	Br NH NH CH ₃
86	Br. NH CH3
87	NH-N NH NH-N NH NH-NH ₂

Tal	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
88	NH-N NH N O-CH ₃	
89	NH-N (Abs)	
90	NH-N CH ₃	
91	NH-N NH OS: NH2	
92	Br NH CH ₃ CH ₃	
93	HN-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-	
94	NH'N	

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
95	Br NH CH ₃	
96	NH-N NH NH NH	
97	Br N N N	
98	NH-N NH NH CI OCH ₃	
99	NH-N NH NH CH ₃	
100	NH-N NH NH N	
101	D C C C C C C C C C C C C C C C C C C C	

Ta	Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure		
102	NH-N NH N NH N N N N O-CH ₃		
103	Br NH CH3		
104	Br N N		
105	N N N N N N N N N N N N N N N N N N N		
106	NH Y		
107	BIT N CH ₃		
108	A D D D D D D D D D D D D D D D D D D D		
109	H'c N' A D D D D D D D D D D D D D D D D D D		

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
	N-NH	
110	CH ₃ N N O N	
111	NH-N NH N N-CH ₃	
112	CI NH HN-N	
113	Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z	
114	H ₃ C N N N	
115	NN N CH₃	
116	NH CH ₃ NH CH ₃ NH CH ₃ NH NH NH NH	

	PC1/US200//025/51	
Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
117	N-NH NH N-NH	
118	N-NH Br NH CH ₃ CH ₃	
119	Br CH ₃	
120	Br Z Z	
121	NH-N NT N N N N N N N N N N N N N N N N N N	
122	Br. NH	
123	NH-N NH Br N N O'CH3	

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
124	NH-N NH N N N N N-CH ₃	
125	H ₃ C N N N	
126	NH-N NH-N NH-N NH-N NH-N CH ₃	
127	NH-N NH NH NH2	
128	BH N N N CHO	
129	N-NH NH NH ON	
130	N-HH Br NH	

Tal	ole 5a. Representative IGF-1R Inhibitors
Entry	Structure
131	NH-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-
132	NH-N NH Br NH
133	HN-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-
134	NH-N NH NH Br N NH
135	N-NH NH NH NH NH NH NH NH NH NH NH NH NH N
136	NH-N NH N NH CI
137	NH. N

Ta	Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure		
138	NH-N NH N NH N		
139	H ₂ C Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z		
140	EZ Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z		
141	NH-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-		
142	NH-N NH NH O CH ₃		
143	N-NH Br NH Br		
144	Br NH N N N N N N N N N N N N N N N N N N		

Tal	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
145	NHT-N N-N N NHT-N N N-N N N N N N N N N N N N N N N N	
146		
147	Br NH	
148	NH-N NO ₂ NH NO ₃ NH NO ₃ NH NH NH NH NH NH NH NH NH NH NH NH NH N	
149	NH-N NH-N O'CH3	
150	Br NH CH3	
151	NH-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-	

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
152	NH-N NH Br N N	
153	H-C N- N-CH2	
154	NHT NH	
155	NH-N NH N CF N N CF N N	
156	Br NH2	
157	CH ₃ NH	
158	NH-N NH NH2	
159	Br. NH	

Tal	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
160	NH NH N CH ₃	
161	Br NH NH	
162	NH-N NH N N N CH ₃	
163	NH-N NH NH Br	
164	NH-N NH-N NH-N NH-N NH-N NH-N NH-N NH-N	
165	Br CH ₃ CH ₃	
166	NH-N NH CN	

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
167	H ₃ C N CH ₃
168	NH Z X H GI
169	CI NH
170	NH-N NH Br N
171	NH-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-
172	NH-N NH Br
173	NH-N NH NH NH S

	PCT/US2007/025751	
Tal	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
174	TT Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z	
175	CH ₃ NH CH ₃ CH ₃	
176	NI N	
177	Br. NH	
178	H ₂ C N N N O N	
179	N-NH NH NH S NH N N N N	

Ta	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
180	N-NH NH NH NH	
181	Hyc hy	
182	H ₂ C N N N N N N N N N N N N N N N N N N N	
183	15 - 15 - 15 - 15 - 15 - 15 - 15 - 15 -	
184	HN-Z CH ₃	
185	Br Z ZH	

	T TOTAL
Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
186	HN-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-
187	N-HH NH NH CH ₃
188	HN-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-
189	HN-N HN N HN N HN N N-HH
190	Br. N. N.
191	HO. N.

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
192	Br N N C	
193	HN-N HN N N-CH ₃ CH ₃	
194	Br. N.	
195	HN-N HN N CH ₃	
196	HN-N HN N CN	
197	HN CI	
198	HN-N HN N Br N NH	

Tal	Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure		
199	Br HN CH ₃		
200	N-NH HN N N		
201	HN-N HN-N N-N		
202	HN N HN N HN N HN N N N H ₂ C C H ₂ C C I		
203	HN-N HN N N NH H ₃ C CH ₃		
204	Br N Ci		

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
205	B N N N N N N N N N N N N N N N N N N N
206	N-NH NH O-CH ₃
207	H ₃ C N N N N N N N N N N N N N N N N N N N
208	B Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z
209	HN-N HN N CI
210	THE TANK OF THE TA
211	HN-N HN HN HN OCHS

Ta	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
212	O ₂ N N G	
213	HN-N CH ₃	
214	Br N NH	
215	H ₃ C. _{N-N} HN CH ₃ O	
216	HY N NH C	
217	HN N N N N N N N N N N N N N N N N N N	

Та	Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure		
218	Br. NH		
219	Br CH ₃		
220	HN-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-		
221	HN CH ₃		
222	CI AND		
223	Br NN		
224	HN-N HN-N		

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
225	HN. N. C.
226	HN-N HN N
227	O CH S
228	HH Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z
229	Br N-NH
230	HN-N HN N N CI
231	Br N N N

Ta	ble 5a. Representative IGF-1R Inhibitors
Entry	Structure
232	Br N N N N N N N N N N N N N N N N N N N
233	Br. N. N. H. N. H. N. H. N. N. H. N. H. N. N. H. N. H. N. H. N. N. H. N. H. N. H. N. H. N. N. H. N.
234	NH-N NH NH NH NH NH NH NH NH
235	2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2
236	HN-N HN N N CI
237	Br N F F

	FC1/05200//023/31	
Та	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
238	HN-N CH ₃	
239	HNN NH CI	
240	BL Z Z Z CI	
241	HN-N HN N CI	
242		
243	H ₃ C N N N N N N N N N N N N N N N N N N N	

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
244	HN-N HN N Br N O CH ₃
245	Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z
246	H.C. Z.
247	Br Z ZH
248	HN-N O HN N N N C
249	Br NH
250	Br N N N

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
251	N-NH Br CH3	
252	H ₃ C N H S	
253	H ₂ C CH ₃ O C C C CH ₃ O C C C C C C C C C C C C C C C C C C	
254	Br N N-CH ₃	
255	HN-N HN N N N N-NH	
256	Br N CHa	
257	HN-N HN O-CH ₃	

Ta	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
258	Br 2 2 4 4 4 4 4 4 4 4 4 4 4 4 4 4 4 4 4	
259	HN-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-	
260		
261	H ₃ C N-NH H ₃ C N-NH H ₃ C O-CH ₃	
262	HN N N N N N N N N N N N N N N N N N N	
263	HN-N HN F	

Ta	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
264	HN-N HN PFF	
265	Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z	
266	HZ Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z	
267	N-NH HN NH Br N NH	
268	HN N N N N N N N N N N N N N N N N N N	
269	HN-N HN N N N N	

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
270	HN-N HN N H ₃ C N NH
271	1 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2
272	HZ Z Z HZ Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z
273	HN-N S
274	HN-7 HN N H ₃ C N NH
275	N-NN1 HN N N N N N N N N N N N N N N N N N N

Tal	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
276	1 2 2 2 1 2 2 2 1 2 2 2 1 2 2 2 1 2 2 2 1 2 2 2 1 2 2 2 1 2 2 2 1 2 2 2 1 2 2 2 1 2 2 2 2 1 2	
277	N-N-P HNN N-N-P Br N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-	
278		
279		
280	H ₂ C Y HN-N	
281	N-NH HN N-NH N-NH N-NH N-NH N-NH N-NH N-	

Tal	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
282	Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z	
283	HN N N N N N N N N N N N N N N N N N N	
284		
285	Br NH2	
286	Br - 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2	
287	Br NH ₂	
288	Br N N S N CF3	

	PCT/US2007/02575
Ta	ble 5a. Representative IGF-1R Inhibitors
Entry	Structure
289	Br N N S N C C
290	Br N S CI
291	Br N NH2
292	Br Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z
293	HN CH ₃
294	H ₃ C N N N N N N N N N N N N N N N N N N N
295	H ₃ C N N N N N N N N N N N N N N N N N N N

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
296	Br N N N N N N N N N N N N N N N N N N N	
297	H ₂ C N N N N N N N N N N N N N N N N N N N	
298	H ₂ C \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \	
299	H ₀ C N N CON	
300	HN-N HN NH FF FF	

Ta	ble 5a. Representative IGF-1R Inhibitors
ntry	Structure
-	HN-N
301	H₃C N NH
	Br F F
	HN-N
302	H3C N NH
	H ₃ C _0 F
	HN-N
303	Br N NH
	NH-N
304	Br NH
	F F F
305	HN-N
	Br N NH
	Br F

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
306	H ₉ C N H
307	H ₃ C N H O-N
308	H ₃ C N N N N N N N N N N N N N N N N N N N
309	H ₂ C \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \ \
310	Hac with Hackey
311	
312	Hac N NH

	PCT/US2007/02575
Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
313	HN-N HN N Br N NH
314	Br NH ₂
315	HN'N HN N CH3
316	Br N N N N N N N N N N N N N N N N N N N
317	HN N N
318	Br HN NH

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
319	HZ Z HZ Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z
320	B Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z
321	Br X N N N N N N N N N N N N N N N N N N
322	PA STANDARD
323	
324	HN-N HN S CI
325	HN-N HN CI Br N N CI

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
326	Br N N N N N N N N N N N N N N N N N N N	
327	Br N HN-N	
328	HN N N N N N N N N N N N N N N N N N N	
329	Br N 2 2 1 1 1 2 1 1 1 1 1 1 1 1 1 1 1 1 1	
330	HZ Z Z	
331	0: N	

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
332		
333	Br N NH	
334	Br N N N N	
335	Br N N	
336	NH ₂	

Ta	Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure		
337	NH ₂		
338			
339	NH ₂		
340	NH ₂		
341	NH ₂		

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
342	NH ₂ C C N N N N N N N N N N N N N N N N N	
343	H H H H H H H H H H H H H H H H H H H	
344	NH ₂	
345	NH ₂ O	

	PC1/US200//025/51	
Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
346	H ₃ C NH	
347	NH NH NH	
348	NH ₂ Z Z	
349	NH ₂	
350	NH ₂	

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
351	NH ₂ OH
352	NH ₂ OH
353	NH ₂
354	NH ₂
355	NH ₂ O

FC1/US2007/025751		
Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
356	NH ₂ N ₂ N ₂ N ₂ N ₂ N ₂ N ₃ N ₄ N ₂ N ₃ N ₄ N ₄ N ₅	
357		
358	NH2	
495	my CH,	
496		

Ta	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
497	H,C. W. H. CH,	
498	HC THE CH	
499		
500	"" " " " " " " " " " " " " " " " " " "	
501		
502	NN CHI	

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
503	THE CHAIN	
504	HC NO CN N CN	
505	N CH,	
506		
507	H,C-CH,	
508		
509	"CO-101"	

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
510	
511	A H A Core
512	
513	
514	
515	M.C. A. O. C. M. H. C. M.
516	

	FC1/US200//025/51		
Tal	Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure		
517			
518	HO NA CH,		
519	H,C N,		
520	Chy has con		
521			
522	H.C. W. J. W		

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
523	H ₂ C N H ₂ C N H ₃ C
524	Br. N
525	1,5 T T T T T T T T T T T T T T T T T T T
526	M.C. N. H.C. CH.
527	
528	H,C H, CH,

Tab	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
529	HE TO THE	
530		
531		
532	4c~of~L	
533		
534	Br N N N	

Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure	
535	H.C. N. H. CH.	
536	H,C N H	
537	HN-N	
538		
539	H,C Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z	
540	H,C, Z, TH, H, Z, CH,	
541	ar Linguistan,	

Tal	Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure	
542	H'C_N II CON	
543	Br W N N	
544	CH, N, H, CH,	
545	HN N EI	
546	HN.N.	
547	HE WELL OF THE CHA	
548	"c'i'' ()	

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
549	HN-T
550	HN-N HN NH ₂
551	H,C N N N N N N N N N N N N N N N N N N N
552	HN-N HN-N HN-N HN-N HN-CH,
553	H ₂ C N H
554	HN N
555	H,C N H CON
556	HN-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-

Table 5a. Representative IGF-1R Inhibitors	
Entry	Structure
557	N-FI CHA
558	M.C. N. N. N. CH, CH, CH,
559	M.C. W. M. M. M. C. W. M. C. CH.
560	"c"\\"\"\"\"\"\"\"\"\"\"\"\"\"\"\"\"\"\
561	
562	H,C W H C C
563	H, C N N N N N N N N N N N N N N N N N N

Ta	Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure		
564	HN CH,		
565	HN-N HN COCH,		
566	HN P CH,		
567	H ₂ C N H		
568	HN-N HN N H ₃ C CH ₃		

Ta	Table 5a. Representative IGF-1R Inhibitors		
Entry	Structure		
569	HN N N N CH,		
570	#C # C # C # C # C # C # C # C # C # C		
571			
572	H,C TN H O-N and		
	a single geometric isomer, stereoisomer, racemate, enantiomer, or diastereomer, thereof and optionally as a pharmaceutically acceptable salt, solvate, or hydrate thereof.		

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
1	CH ₃ N N ON CH ₃ CH ₄	M*-(5-cyclopropyl-1H-pyrazol-3- yl-)-M*-[3-(diethylamino)propyl- //*-[(3-{(-methylethyl)isoxazol-5 yl]methyl}pyrimidine-2,4,6- triamine

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
2	HN N N N N N N N N N N N N N N N N N N	N*-(5-cyclopropyl-1 <i>H</i> -pyrazol-3- yl)-N*-[2-(diethylamino)ethyl]-N*- {[3-(1-methylethyl)isoxazol-5- yl]methyl} pyrimidine-2,4,6- triamine
3	N-NH CH ₃ Chiral HN CH ₃ Chral HN CH ₃ CH ₃ HN CH ₃ CH ₃	N ² -{{3-(1-methylethyl)}isoxazol-5- yl methyl}-N ² -{5-(1-methylethyl)- 1/#-pyrazol-3-yl-6-{(2S)-3- methylpjerazol-1-yl pyrimidine- 2,4-diamine
4	CH ₃ CH ₃ CH ₃	N*-(5-cyclopropyl-1 <i>H</i> -pyrazol-3- yl)-6-{[2- (dimethylamino)ethyl]oxy}-N²- {[3-(1-methylethyl)isoxazol-5- yl]methyl) pyrimidine-2,4-diamine
5	HN-N-CH ₃ HN CH ₃ CH ₃ CH ₃	N*-[3-(1-methylethyl)-1H- pyrazol-5-yl]-6-[(1- methylpyrrolidin-3-yl)oxy]-N²- [(3-phenylisoxzol-5- yl)methyl]pyrimidine-2,4-diamine
6	HN-N HN N CH ₃ O N H O N CH ₃ CH ₃	M*-(3-cyclopropyl-1H-pyrazol-5- yl)-N*- {[3-(1- methylethyl)isoxazol-5- yl[methyl]-6-{[(1- methylpyrrolidin-3- yl)oxy]pyrimidine-2,4-diamine

Entry	Structure	Name
7	HN-N CH ₃ HN CH ₃ CH ₃ CH ₃ CH ₃	N ² -{[3-(1-methylethyl)isoxazol-5- yl]methyl}-N ⁴ -[3-(1-methylethyl)- IH-pyrazol-5-yl]-6-([1- methylpyrrolidin-3- yl)oxy]pyrimidine-2,4-diamine
8	CH ₃ HN CH ₃ CH	N ⁴ -[2-(diethylamino)ethyl]-N ² - [[3-(1-methylethyl)isoxazol-5- yl]methyl}-N ⁴ -[5-(1-methylethyl)- 1H-pyrazol-3-yl]pyrimidine-2,4,6- triamine
9	N-NH CH ₅ HN CH ₅	N ² -{[3-(1-methylethyl)isoxazol-5-y]methyl}-N ⁴ -[5-(1-methylethyl) 1 <i>H</i> -pyrazol-3-yl]pyrimidine-2,4-diamine
10	N-NH CH ₃ HN CH ₃ CH ₃ CH ₃	M*-[5-(1-methylethyl)-1H- pyrazol-3-yl]-6-[(1- methylpiperidin-3-yl)oxy]-N²-[(3 phenylisoxazol-5- yl)methyl]pyrimidine-2,4-diamin
11	N-NH CH ₃ HN CH ₃	N ² -{[3-(1-methylethyl)isoxazol- yl]methyl}-N ² -{5-(1-methylethyl) 1H-pyrazol-3-yl]-6-{(1- methylpiperidin-3- yl)oxy]pyrimidine-2,4-diamine
12	N-NH HN CH ₃	N-(5-cyclopropyl-1H-pyrazol-3- yl)-6-methyl-2-{{(3- phenylisoxazol-5- yl)methyl]oxy}pyrimidin-4-amin

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
13	N-NH HN N N N N N N N N N N N N N N N N N	N*-(5-cyclopropyl-1H-pyrazol-3- yl)-6-methyl-N*-[(4-phenyl-1H- imidazol-2-yl)methyl]pyrimidine- 2,4-diamine
14	CH ₃ CH ₃ CH ₃ CH ₃ CH ₄ CH ₅ CH ₅ CH ₆ CH ₇ CH	6-{[2-(dimethylamino)ethylloxy}- N ² -{[3-(1-methylethyl)isoxazol-5- yl methyl-N ² -[5-(1-methylethyl- HF-yyrazol-3-yl]pyrimidine-2,4- diamine
15	N-NH CH ₃ NN NH CH ₃ NN NH CH ₅ CH ₅ CH ₅	Nº-([3-(1-methylethyl)isoxazol-5- yl]methyl)-Nº-[5-(1-methylethyl)- II-Pyrazol-3-yl-de-[2- morpholin-4- ylethyl)oxy]pyrimidine-2,4- diamine
16	N-H CH ₃ HN CH ₃ CH ₃	N ⁴ -[5-(1-methylethyl)-1 <i>H</i> - pyrazol-3-yl]-6-[(2-morpholin-4- ylethyl)oxy]-N ² -[(1- phenylisoxazol-5- yl)methyl]pyrimidine-2,4-diamine
17	HN-N-CH ₃ HN CH ₃	M ⁴ -[3-(1-methylethyl)-1H- pyrazol-5-yl]-M ² -((3- phenylisoxazol-5-yl)methyl]-6- ((2-piperdidn-1- ylethyl)oxy]pyrimidine-2,4- diamine

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
18	CH ₃ HN CH ₃ CH ₃ CH ₃ CH ₃	N*-[3-(diethylamino)propyl]-N*- {[3-(1-methylethyl)isoxazol-5- yl]methyl]-N*-[3-(1-methylethyl)- 1H-pyrazol-3-yl]pyrimidine-2,4,6- triamine
19	N-NH CH ₃ HN CH ₃ HN N N N N N N N N N N N N N N N N N N	N*-[5-(1-methylethyl)-1/H- pyrazol-3-yl]-6-[(3S)-3- methylipterazin-1-yl]-N*-[(3- phenylisxazol-3- yl)methyl]pyrimidine-2,4-diamine
20	N-NH CH ₃	N ⁴ -[2-(diethylamino)ethyl]-N ⁶ -[5- (1-methylethyl)-1 <i>H</i> -pyrazol-3-yl]- N ⁶ -[(3-phenylisoxazol-5- yl)methyl]pyrimidine-2,4,6- triamine
21	CH ₃ HN CH ₃ CH ₅	N ⁴ .[5-(1-methylethyl)-1 <i>H</i> - pyrazol-3-yl]-6-[(1- methylpiperidin-4-yl)oxy]-N ² -[(3- pherylisoxazol-5- yl)methyl]pyrimidine-2,4-diamin
22	N-NH HN ON CH ₃	N*-(5-cyclopropyl-1 <i>H</i> -pyrazol-3- y)-N*-(13-(1- methylethyl)isoxazol-5- yl]methyl)-6-((2-morpholin-4- ylethyl)oxylpyrimidine-2,4- diamine

	Table 5b. Additional Representative I	
Entry	Structure	Name
23	HN-N CH ₅ HN CH ₅ CH ₅ CH ₅ CH ₅ CH ₅ CH ₅	N ² -{[3-(1-methylethyl)isoxazol-5- yl]methyl}-N ² -[3-(1-methylethyl)- 1 <i>H</i> -pyrazol-5-yl]-6-[(2-piperidin- 1-ylethyl)oxy]pyrimidine-2,4- diamine
24	HN-N HN HN H	N*-(3-cyclopropyl-1H-pyrazol-5- y1)-6-[3-(diethylamino)propyl]- N*-[(3-phenylisoxazol-5- yl)methyl]pyrimidine-2,4-diamine
25	HN-N HN CH ₅	N*-(3-cyclopropyl-1 <i>H</i> -pyrazol-5- yl)-N*-{[3-(1- methylethyl)isoxazol-5- yl]methyl}-6-[(2-piperidin-1- ylethyl)oxy]pyrimidine-2,4- diamine
26	N-N-I	N ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3- yl)-N ² -{[3-(1- methylethyl)isoxazol-5- yl]methyl}-6-{(1-methylpiperidin- 3-yl)oxy]pyrimidine-2,4-diamine
27	CH ₃ HN CH ₃ CH	N ² -{[3-(1-methylethyl)isoxazol-5- yl]methyl}-N [*] -[3-(1-methylethyl)- 1/H-pyrazol-5-yl]-6-[(1- methylpiperidin-4- yl)oxy]pyrimidine-2,4-diamine
28	HN N N CH3	N*-(3-cyclopropyl-1 <i>H</i> -pyrazol-5- yl)-6-methyl-N*-[(3- methylisoxzol-5- yl)methyl]pyrimidine-2,4-diamine

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
29	HN-N HN H CN CH ₃	M*-(3-cyclopropyl-1 <i>H</i> -pyrazol-5- yl)-M*-(13-methylisoxazol-5- yl)methyl -6-morpholin-4- ylpyrimidine-2,4-diamine
30	HN-N H CH ₅	N ⁴ -(3-cyclopropyl-1 <i>H</i> -pyrazol-5- yl)-N ² -((3-methylisoxazol-5- y)methyl]-6-(4-methylisperazin- 1-yl)pyrimidine-2,4-diamine
31	CH ₃ HN CH ₃ CH ₃	N*-(5-cyclopropyl-1H-pyrazol-3- yl)-N*-{[3-(1- methylethyl)isoxazol-5- yl]methyl}-6-[(1-methylpiperidin- 4-yl)oxy]pyrimidine-2,4-diamine
32	HN-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-	A*-(3-eyclopropyl-1 <i>H</i> -pyrazol-5- yt),N*-(3+4+ fluorophenyl)isoxazol-5- yl)methyl i-6-morpholin-4- ylpyrimidine-2,4-diamine
33	HN-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-	M*.(3-cyclopropyl-1 <i>H</i> -pyrazol-5- y)-)- ^{2*} -{13-(4- fluorophenyl)isoxazol-5- y] methyl]-6-(4-methylpiperazin- 1-yl)pyrimidine-2,4-diamine

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
34		N*-(3-cyclopropyl-1 <i>H</i> -pyrazol-5- yly-N*-(1:-(4- fluorophenyl)isoxazol-5- yl methyl)-6-(2-morpholin-4- ytethyl)oxy pyrimidine-2,4- diamine
35	HN-N CH ₃ HN CH ₃ H	Nº-1[3-(4-fluorophenyl)isoxazol- 5-yljmethyl)-Nº-[3-(1- methylethyl)-1H-pyrazol-5-yl]-6- morpholin-4-ylpyrimidine-2,4- diamine
36	HN-N CH ₃ HN CH ₃ HN N H N N	N ² -[[3-(4-fluorophenyl)]isoxazol- 5-y]methyl-N ² -[3-(1- methylethyl)-1 <i>H</i> -pyrazol-5-yl]-6- (4-methylpjenazin-1- yl)pyrimidine-2,4-diamine
37	HN-N-CH ₃ ON-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N	N ² -{[3-(4-fluorophenyl)isoxazol- 5-yl]methyl}-N ⁴ -[3-(1- methylethy)-1 <i>H</i> -pyrazol-5-yl]-6- [2-morpholin-4- ylethyl)oxy]pyrimidine-2,4- diamine
38	N-NH HN HO-N	N ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3- yl)-6-methyl-N ² -{(3-pyridin-3- ylisoxazol-5- yl)methyl]pyrimidine-2,4-diamine

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
39	HN N N N N N N N N N N N N N N N N N N	M*-(5-cyclopropyl-1 <i>H</i> -pyrazol-3- yl)-6-(4-methylpiperazin-1-yl)-N*- [(3-pyridin-2-ylisoxazol-5- yl)methyl]pyrimidine-2,4-diamine
40	N N N N N N N N N N N N N N N N N N N	M*-(5-cyclopropyl-1 <i>H</i> -pyrazol-3- yl)-6-morpholin-4-yl-N*-[(3- pyridin-2-ylisoxazol-5- yl)methyl]pyrimidine-2,4-diamine
41	N-NH HN CH ₃	A*-(5-cyclopropyl-1 <i>H</i> -pyrazol-3- yl)-A*-{[3-{1- methylethyl)isoxazol-5- yl]methyl)-6-piperazin-1- ylpyrimidine-2,4-diamine
42	CH ₃ N N H CH ₃	6-(4-acetylpiperazin-1-yl)-M-(5- cyclopropyl-1 H-pyrazol-3-yl)-M- ([3-(1-methylethyl)isoxazol-5- yl]methyl) pyrimidine-2,4-diamin
43	OSS. N N N O-N CH3	M*-(5-cyclopropyl-1H-pyrazol-3- yl)-M*-{13-(1- methylethyl)scoazol-5- yl]methyl]-6-[4- (methylsulfonylpiperazin-1- yl]pyrimidine-2,4-diamine

	Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name	
44	N-NH HN N CH ₃	4-{6-{(5-cyclopropy)-1 <i>H</i> -pyrazol- 3-y)amino1-2-{{(3-{1- methylethyl)isoxazol-5- yl]methyl}amino)pyrimidin-4- yl}piperazine-1-carbaldehyde	
45	HN-N CH ₃	M*-(3-methyl-1H-pyrazol-5-yl)-6- morpholin-4-yl-N*-[(3- phenylisoxazol-5- yl)methyl]pyrimidine-2,4-diamine	
46	HN-N-CH ₃ HN N N N N N N N N N N N N N N N N N N	6-(4-methylpiperazin-1-yl)-N ⁴ -(3- methyl-1/4-pyrazol-5-yl)-N ² -((3- phenylisoxazol-5- yl)methyl]pyrimidine-2,4-diamine	
47	HN-N-CH ₃	N*-(3-methyl-1 <i>H</i> -pyrazol-5-yl)-6- [(2-morpholin-4-ylethyl)oxyl-N*- [(3-phenylisoxazol-5- yl)methyl]pyrimidine-2,4-diamine	
48	HW CH, S CH,	M-(5-cyclopropyl-1//-pyrazol-3- yl)-6-methyl-M-(3-pyridin-4- ylisoxazol-5- yl)methyl]pyrimidine-2,4-diamine	

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	D	Name
49	CH3 N N N N	N*4(5-eyelopropyl-1 <i>H</i> -pyrazol-3- yp)-N*4 [3-4].4. difluorophenyl)isoxazol-5- yl]methyl-6-methylpyrimidine- 2,4-diamine
50	N-NH HN NH O N F	N*-{5-cyclopropyl-1 <i>H</i> -pyrazol-3- yl-N*-{[3-{2,4- ditluorophenyl)isoxazol-5- ylmethyl-6-methylpyrimidine- 2,4-diamine
51	HN N N N N N N N N N N N N N N N N N N	N*-(5-cyclopropyl-1H-pyrazol-3- yl)-6-methyl-N*-[(3-pyrazin-2- yl)soxazol-5- yl)methyl]pyrimidine-2,4-diamine
52	HN-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-	5-chloro-N*-(3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-morpholin-4-yl-N*-(3-phenylisoxazol-5-yl)methyl]pyrimidine-2,4-diamine
53	HN H	5-chloro-M ⁻ (3-cyclopropyl-1 <i>H</i> -pyrazol-5-yl)-6-(4-methylpiperazin-1-yl)-N ² -[(3-phenylisoxzol-5-yl)methyl pyrimidine-2,4-diamine

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
54	HN CH ₃	N ² -[(3-methylisoxazol-5- yl)methyl]-6-(4-methylpiperazin- 1-yl)-N ⁴ -(3-methyl-1 <i>H</i> -pyrazol-5- yl)pyrimidine-2,4-diamine
55	HN-N-CH ₃ HN N N CH ₃ CH ₃	Nº-[(3-methylisoxazol-5- y))methyl]-Nº-(3-methyl-1 <i>H</i> - pyrazol-5-yl)-6-morpholin-4- ylpyrimidine-2,4-diamine
56	CHI N N N N N N N N N N N N N N N N N N N	N*-(5-cyclopropyl-1H-pyrazol-3- yl)-6-(4-methylpiperazin-1-yl)-N* [(3-pyrimidin-4-ylisoxazol-5- yl)methyl]pyrimidine-2,4-diamin
57	CHS N N N N N N N N N N N N N N N N N N N	M*-(5-cyclopropyl-1 <i>H</i> -pyrazol-3 y] ₂ N*-(3-furan-3-ylisoxazol-5-y)methyl]-6-(4-methylpiperazin 1-yl)pyrimidine-2,4-diamine
58	CH3-N-H Chiral	N*-(5-cyclopropyl-1 <i>H</i> -pyrazol-: yl)-N*-(8-methyl-8- azabicyclo[3.2.1]oct-3-yl)-N ² -[(methylisoxazol-5- yl)methyl]pyrimidine-2,4,6- triamine

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
59	N-NH HN N N N N CHs	N ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3- yl)-6-(5-methyl-2,5- diazabicyclo[2.2.1]hept-2-yl)-N ² - [(3-methylisoxazol-5- yl)methyl]pyrimidine-2,4-diamine
60	N-NH HN N H C N CH ₃ CH ₃	N ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3- yl)-6-(5-methyl-2,5- diazabicyclo[2.2.1]hept-2-yl)-N ² - ([3-(1-methylethyl)isoxazol-5- yl]methyl)pyrimidine-2,4-diamine
61	N-NH NN H CH ₃	M*-bicyclo[2.2.1]hept-2-yl-N*-(5-cyclopropyl-1H-pyrazol-3-yl)-N*-([3-(1-methylethylisoxazol-5-yl)methyl) pyrimidine-2,4,6-triamine
62	N-NH HN N HN CH,	N*-bicyclo[2.2.1]hept-2-yl-N*-(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-N²-([3-methyljsoxazol-5-yl)methyljpyrimidino-2,4,6-triamine
63	N N N N CHS	N ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3- yl)-N ² -[(3-methylisoxazol-5- yl)methyl-6-{(1R,4R)-5- (phenylmethyl)-2,5- diazabicyclo[2.2.1]hept-2- yl]pyrimidine-2,4-diamine
64	N-NH HN N N CH ₃	N*-(5-cyclopropyl-1 <i>H</i> -pyrazol-3- yb)-N*-{{1}-(1- methylethyl)isoxazol-5- yl]methyl-6-{[1 R,4R}-5- (phenylmethyl)-2,5- diazabicyclo[2,2.1]hept-2- yl]pyrimidne-2,4-diamine

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
65	THE	N ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3- y)-6-morpholin-4-yl-N ² -[(3- pyrimidin-4-ylisoxazol-5- yl)methyl)pyrimidine-2,4-diamine
66	N-NH HN N CH ₃	\(\hat{N}^4\)\(\frac{4}{-\text{eyelopropyl-1}}\)\(H-\)\(\frac{12}{-\text{(2-)}}\)\(\frac{6}{-\text{(2-)}}\)\(\frac{1}{-\text{(2-)}}\)\(\frac{1}{-\text{(3-pyrimidin-4-ylisoxazol-5-y')}\)\(\text{methy pyrimidin-2,4-diamine}\)\(\frac{1}{-\text{(3-min-2)}}\)\(\frac{1}{-(3-mi
67	N-NH HN O-N N-F	Λ ^A -(5-cyclopropyl-1 <i>H</i> -pyrazol-3- y)-λ ^P -([3-(5-fluoropyridin-2- yl)jisoxazol-5-y methyl)-6- methylpyrimidine-2,4-diamine
68	CH ₃	N*-(5-cyclopropyl-1 <i>H</i> -pyrazol-3- yl)-6-(4-methylpiperazin-1-yl)-N ² - {{3-(2-thieny)}isoxazol-5- yl]methyl}pyrimidine-2,4-diamine
69	CH ₃	N*4.5-cyclopropyl-1H-pyrazol-3- y)-6-{12- (dimethylamino)ethyl loxy}-N*- [(3-pyridin-2-ylisoxazol-3- yl)methyl]pyrimidine-2,4-diamino

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
70	HN-N HN-N HN-N HN-N HN-N HN-N HN-N HN-N	N*-(3-cyclopropyl-1 <i>H</i> -pyrazol-5- yl)-6-(4-methylpiperazin-1-yl)-N*- [(3-pyrimlin5-5-ylioxazol-5- yl)methyl]pyrimidine-2,4-diamine
71	HN N N N N N N N N N N N N N N N N N N	N*-(3-cyclopropyl-1 <i>H</i> -pyrazol-5- yl)-6-morpholin-4-yl-N*-[(3- pyrimidin-5-ylisoxazol-5- yl)methyl]pyrimidine-2,4-diamine
72	N-NH HN I ON CH ₃ CH ₃	N*-(5-cyclopropyl-1 <i>H</i> -pyrazol-3- yl)-6-{[2- (diethylamino)ethyl]oxy]-N*-{[3- (diethylethyl)soxazol-5- yl]methyl)pyrimidine-2,4-diamine
73	N-NH HN N N CH ₃	N*-(5-cyclopropyl-1 <i>H</i> -pyrazol-3-yl)-N*-([3-(1-methylethyl)isoxazol-5-yl]methyl)-6-((2-pyrrolidm-1-ylethyl)oxy]pyrimidine-2,4-diamine
74	N-NH HN CH ₃	N ⁴ -(5-cyclopropyl-1 <i>H</i> -pyrazol-3- yl)-6-{2- (diethylamino)ethyl]oxy}-N ² -{3- methylisoxazol-5- yl)methyl]pyrimidine-2,4-diamin

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
75	N N CHs	M*-{3-cyclopropyl-1 <i>H</i> -pyrazol-3- yl)-M*-{(3-methylisoxazol-5- yl)methyl-6-{(2-pyrrolidin-1- ylethyl)avylpyrinidin-2-2,4- diamine
76	CHS N H O N	N^4 -(5-cyclopropyl-1 H -pyrazol-3- yl)-6-(4-methylpiperazin-1-yl)- N^2 - {[3-(1,3-thiazol-2-yl)isoxazol-5- yl]methyl) pyrimidine-2,4-diamine
77	CH3 N CH3	N*-(3-cyclopropyl-1 <i>H</i> -pyrazol-5- y))-6-[2-(dimethylamino)ethoxyl- N*-[(3-methyliosazol-5- yl)methyl]pyrimidine-2,4-diamine
78	HN-N-CH ₃ CH ₃ N-CH ₃ CH ₃ CH ₃ CH ₃	6-{[2-(dimethylamino)ethyl]oxy}- A ² -[(3-methylisoxazol-5- y)methyl]-A ⁴ -(3-methyl-1 <i>H</i> - pyrazol-5-yl)pyrimidine-2,4- diamine
79	CH ₃ N N N CH ₃	6-[[2-(diethylamino)ethyl]oxy}- N²-[(3-methylisoxazol-5- yl)methyl]-N²-(3-methyl-1H- pyrazol-5-yl)pyrimidine-2,4- diamine
80	HN-N-CH ₃	N ² -[(3-methylisoxazol-5- y)methyl]-M ² (3-methyl-1 <i>H</i> - pyrazol-5-yl)-6-{(2-pyrrolidin-1- ylethyl)oxy]pyrimidine-2,4- diamine

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
81	HN-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-	M*-(3-eyelopropyl-1 <i>H</i> -pyrazol-5- yl)-6-methyl-N*-[2-(3- phenylisoxazol-5- yl)ethyl]pyrimidine-2,4-diamine
82	HN-N HN CH ₅	M*-(3-cyclopropyl-1 <i>H</i> -pyrazol-5- yl)-6-methyl-M*-[1-{3- phenylisoxazol-5- yl)ethyl]pyrimidine-2,4-diamine
83	HN-N HN N CH ₃ CH ₃	M*-{3-cyclopropyl-1 <i>H</i> -pyrazol-5- y) ₃ -N*-{(3-ethylisoxazol-5- yl)methyl1-6-(4-methylpiperazin- 1-yl)pyrimidine-2,4-diamine
84	HN-N HN N N N N CHs	N*-(3-cyclopropyl-1 <i>H</i> -pyrazol-5- y)-N*-(3-ethylisoxazol-5- y)methyl-6-morpholin-4- ylpyrimidine-2,4-diamine
85	CH ₃	N*-(3-eyelopropyl-1 <i>H</i> -pyrazol-5- yl)-6-{[2- (dimethylamino)ethyl]oxy]-N*- [(3-ethylisoxazol-5- yl)methyl]pyrimidine-2,4-diamin
86	CH ₃ , N CH ₃	M*.(3-cyclopropyl-1H-pyrazol-5 yl)-6-{[2- (diethylamino)ethyl]oxy]-N*-{(3 cthylisoxazol-5- yl)methyl]pyrimidine-2,4-diami

Table 5b. Additional Representative IGF1R Inhibitors		
Entry	Structure	Name
87	HN-N HN CON CH ₃	M*-(3-cyclopropyl-1 <i>H</i> -pyrazol-5- yl)-M*-[(3-ethylisoxazol-5- yl)methyl]-6-[(2-pyrrolidin-1- ylethyl)soylpyrimidine-2,4- diamine
88	HN-N HN N CH ₃	N ² -{[3-(2-aminopyrimidin-4- yl)isoxazol-5-yl]methyl)-M ⁴ -(3- cyclopropyl-1H-pyrazol-5-yl)-6- (4-methylipierazin-1- yl)pyrimidine-2,4-diamine
89	H ₃ C N N N N N N N N N N N N N N N N N N N	N*-(3-cyclopropyl-1 <i>H</i> -pyrazol-5- y)-6-(4-ethylpiperazin-1y)-N*- ([3-(1-enthylchyl)syazol-5- y1]methyl) pyrimldine-2,4-diamin
90	HN-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-N-	2-(1-(6-[(3-cyclopropyl-1 <i>H</i> -pyrazol-5-y))amino]-2-([[3-(1-methylethyl]soxazol-5-y]]methyl amino]pyrimidin-4-yl)pipridin-4-yl)ethanol

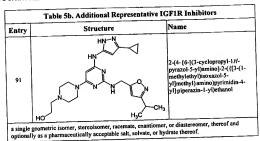


Table 6. Representative Raf Inhibitors

Table 6. Representative Raf Inhibitors	
Entry	Name
1	6-(2-butyl-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl)-2H-1,4-benzoxazin-3(4H)-one
	6-(2-butyl-1-hydroxy-3-oxo-2/3-dinydro-17-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-6-[1-hydroxy-3-oxo-2-(2-phenylethyl)-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-
2	6-[1-nydroxy-3-oxo-2-(2-pitch)-t-my
	one 6-(1-hydroxy-2-{[4-(methyloxy)phenyl]methyl}-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -1,4-
3	benzoxazin-3(4H)-one
	benzoxazin-3(4H)-one 6-(1-hydroxy-2-{[3-(methyloxy)phenyl]methyl}-3-oxo-2,3-dihydro-1H-isoindol-1-yl)-2H-1,4-
4	
	benzoxazin-3(4H)-one 6-{2-[(4-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
5	
-6	6-(1-hydroxy-3-oxo-2-phenyl-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
	6-{1-hydroxy-3-oxo-2-pilenyl-2,3-dihydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-2 <i>H</i> -1,4-
7	benzoxazin-3(4H)-one
8	benzoxazin-3(4H)-one 6-{2-[(4-bromophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
•	benzoxazin-3(4H)-one
9	benzoxazin-3(4H)-one 6-[1-hydroxy-3-oxo-2-(3-phenylpropyl)-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-
,	3(4H)-one 6-{2-[(3,4-dichlorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
10	6-{2-[(3,4-dichlorophenyl)methyl]-1-nydroxy-3-0x0-2,3-dinydro 111 isomato 1
	benzoxazin-3(4H)-one 6-{1-hydroxy-2-((4-methylphenyl)methyl]-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
11	6-{1-hydroxy-2-[(4-methylphenyl)methylp-3-6x0-235 dm/) m
	benzoxazin-3(4H)-one 6-(2-[(4-chlorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
12	benzoxazin-3(4H)-one
	benzoxazin-3(4H)-one 6-[1-hydroxy-2-(1-methylethyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)
13	ope and a series of the series
	one methyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol
14	2-v }carbamate 2-v }c
15	2-yl}carbamate 6-{2-[(3,4-dimethylphenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-2 <i>H</i> -1,4-
15	benzoxazin-3(4H)-one 6-(2-{[4-chloro-3-(trifluoromethyl)phenyl]methyl}-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1
16	6-(2-{[4-chloro-3-(trifluoromethyl)phenyl]methyl]-1-hydroxy-3-0x0 2,3 2m3
	yl)-2H-1,4-benzoxazin-3(4H)-one 6-(2-{[4-(dimethylamino)phenyl]methyl}-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl)-2H-
17	6-(2-{[4-(dimethylamino)pnenyijinemyij-1-nydroxy-3 oxo zija zimya-
	1,4-benzoxazin-3(4H)-one 6-[2-(3-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-
18	6-[2-(3-chiorophenyi)-1-nydioxy-3-oxo-2,3-dm)
	3(47) Folic

	Table 6. Representative Raf Inhibitors
Entry	Nome
19	6-[2-(4-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
20	6-[2-(3,4-dichlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isomdol-1-yl]-2H-1,4-benzoxazın-
21	3(4H)-one 6-[1-hydroxy-2-(4-methylphenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-
22	3(4/H)-one 3-(2-{[3,5-bis(methyloxy)phenyl]amino}-1/H-benzimidazol-5-yl)-3-(methyloxy)-2- (phenylmethyl)-2,3-dihydro-1/H-isoindol-1-one
23	3-(2-{[3,5-bis(methyloxy)phenyl]amino}-1H-benzimidazol-5-yl)-2-(1-methylethyl)-3-
24	(methyloxy)-2,3-dihydro-1 <i>H</i> -isoindol-1-one 3-(2-{[3,5-bis(methyloxy)phenyl]amino}-1 <i>H</i> -benzimidazol-5-yl)-3-hydroxy-2-phenyl-2,3-
25	dihydro-1H-isoindol-1-one 3-(2-{[3,5-bis(methyloxy)phenyl]amino}-1H-benzimidazol-5-yl)-3-hydroxy-2-(phenylmethyl)-
26	2,3-dihydro-1 <i>H</i> -isoindol-1-one methyl (5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1-methyl-1 <i>H</i> -
27	benzimidazol-2-yl}carbamate 3-(1H-benzimidazol-5-yl)-3-hydroxy-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-one
28	5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-N-methyl-1H-
29	benzimidazole-2-carboxamide 2 benzimidazole-2-carboxamide 2 benzimidazole-2-vi)-2-(phenylmethyl)-2,3-dihydro-1 H-isoindol-1-one
30	7-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-3,4-dinydroquinoxaiui-
31	2(1H)-one 7-[2-(3-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-3,4-dihydroquinoxalin-
32	2(1H)-one 2(1H)-one 3-0x0-1-(3-0x0-3,4-dihydro-2H-1,4-benzoxazin-6-yl)-1,3-
33	1,1 cometry 1,1 cometry 1,1 cometry 1,2 cometry 1,2 cometry 1,2 cometry 1,4 cometry
34	benzoxazin-3(4H)-one 6-{2-[(3-chlorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
35	benzoxazin-3(4H)-one 6-{2-{(2-chlorophenyl)methyl}-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
	benzoxazin-3(4H)-one 6-{2-{(3-fluorophenyl)methyl}-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
36	benzoxazin-3(4H)-one 6-{2-{(2-bromophenyl)methyl}-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
37	benzoxazin-3(4H)-one 6-(2-{(2-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
38	6-[2-(3-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-
39	6-[2-43-tinoropinenty]-1-tryutoxy-3-coc2p any-3-(4H)-one [3-4H)-one [4-1-4]-2H-1,4-benzoxazin-3(4H)-6-[1-hydroxy-2-(3-iodophenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-6-[1-hydroxy-2-(3-iodophenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-6-[1-hydroxy-2-(3-iodophenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-6-[1-hydroxy-2-(3-iodophenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-6-[1-hydroxy-2-(3-iodophenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-6-[1-hydroxy-2-(3-iodophenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-6-[1-hydroxy-2-(3-iodophenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-6-[1-hydroxy-2-(3-iodophenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-6-[1-hydroxy-2-(3-iodophenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-6-[1-hydroxy-2-(3-iodophenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-6-[1-hydroxy-2-(3-iodophenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-6-[1-hydroxy-2-(3-iodophenyl)-3-iodophenyl-3-i
40	6-[2-(3-bromophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-
41	6-[1-hydroxy-2-(3-nitrophenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)
42	6-[1-hydroxy-2-[3-nitropneny1)-3-0x0-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4- 6-[1-hydroxy-2-[3-(methyloxy)phenyl]-3-0x0-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-
43	6-{1-hydroxy-2-[3-(methyloxy)phenyi]-3-0x0-2,3-qinyuro-177-isoindol-1-yi] 21-1, benzoxazin-3(4H)-one
44	benzoxazin-3(4H)-one 6-[1-nytovy-2-(3-methylphenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-one
45	3-hydroxy-3-(1 <i>H</i> -indol-5-yl)-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-one
46	3-hydroxy-3-(1/1-hydroxy-3-oxo-2-phenyl-2,3-dihydro-1/H-isoindol-1-yl)-1/H-benzimidazol-2-yl]carbamate
47	y carbamate 6-{2-{2-aminophenyl}-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin- 3(4 <i>H</i>)-one

	Table 6. Representative Raf Inhibitors
Entry	Name
Entry 48	6-{[2-(3-phenyl-1,2,4-oxadiazol-5-yl)phenyl]carbonyl}-2H-1,4-benzoxazin-3(4H)-one
49	6-{[2-(1H-benzimidazol-2-yl)phenyl]carbonyl}-2H-1,4-benzoxazin-3(4H)-one
50	6-(1-hydroxy-3-oxo-2-{[2-(trifluoromethyl)phenyl]methyl}-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -
	1,4-benzoxazin-3(4H)-one 6-{2-{(5-bromo-2-fluorophenyl)methyl}-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
51	
	benzoxazin-3(4H)-one 6-{1-hydroxy-2-{(3-nitrophenyl)methyl}-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
52	
53	benzoxazın-3(4H)-one 6-(1-hydroxy-3-oxo-2-{[3-(trifluoromethyl)phenyl]methyl}-2,3-dihydro-1H-isoindol-1-yl)-2H-
54	1,4-benzoxazın-3(4H)-one 6-(2-{[2,3-bis(methyloxy)phenyl]methyl}-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl)-2H-
	1,4-benzoxazin-3(4H)-one 6-{1-hydroxy-2-[(3-iodophenyl)methyl]-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
55	
	benzoxazın-3(4H)-one 6-[1-hydroxy-3-oxo-2-({3-[(trifluoromethyl)oxy]phenyl}methyl)-2,3-dihydro-1H-isoindol-1-yl]-
56	
57	6-(1-hydroxy-2-{[2-(methylthio)phenyl]methyl}-3-oxo-2,3-dihydro-1H-isoindol-1-yl)-2H-1,44
	benzoxazin-3(4H)-one 6-[2-(3,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-
58	
	3(4H)-one 6-{1-hydroxy-2-[3-(1-methylethyl)phenyl]-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
59	benzoxazin-3(4H)-one
	benzoxazin-3(4H)-one 6-(1-hydroxy-3-oxo-2-{3-[(trifluoromethyl)oxy]phenyl}-2,3-dihydro-1H-isoindol-1-yl)-2H-1,4-
60	
61	benzoxazin-3(4H)-one 6-{1-hydroxy-3-oxo-2-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1H-isoindol-1-yl}-2H-1,4-
	benzoxazin-3(4H)-one 3-[1-hydroxy-3-oxo-1-(3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)-1,3-dihydro-2H-isoindol-2-
62	yllbenzenesulfonamide
	yl]benzenesulfonamide 6-{2-[5-chloro-2-(methyloxy)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-2 <i>H</i> -1,4-
63	benzoxazin-3(4H)-one
64	6-{2-[4-fluoro-3-(trifluoromethyl)phenyl]-1-hydroxy-3-oxo-2,3-dinyuro-17-isolidoi-1-51, 222
65	3-hydroxy-3-(1H-indol-6-yl)-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-one
66	6-[2-(3-fluoro-5-iodophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-
	benzoxazin-3(4H)-one 6-[2-(3-aminophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-
67	6-[2-(3-aminophenyl)-1-hydroxy-3-axo-2,3-diffydio-177-isoliddi 7-7,
<u> </u>	3(4H)-one 6-[2-(3,5-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-
68	3(4H)-one
69	6-{1-hydroxy-2-[3-(methylsulfonyl)phenyl]-3-oxo-2,3-dihydro-1H-isoindoi-1-yi]-2H-1,4-
09	
70	benzoxazin-3(4H)-one ethyl 3-[1-hydroxy-3-oxo-1-(3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)-1,3-dihydro-2H-
	isoindol-2-yl]benzoate 3-[1-hydroxy-3-oxo-1-(3-oxo-3,4-dihydro-2 <i>H</i> -1,4-benzoxazin-6-yl)-1,3-dihydro-2 <i>H</i> -isoindol-2-
71	
72	yl]benzonitrile 6-[2-(2-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-
/2	
73	3(4H)-one 6-[2-(3-amino-5-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-
	benzoxazin-3(4H)-one 6-[2-(5-chloro-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-
74	
<u> </u>	benzoxazin-3(4H)-one 6-[2-(3-chloro-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-
75	benzoxazin-3(4H)-one
76	benzoxazin-3(4H)-one 6-[2-(3-ethylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-
1 /0	one

	Table 6. Representative Raf Inhibitors
Entry	Name
77	6-[2-(3-ethynylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
78	6-[1-hydroxy-2-(3-hydroxyphenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-2 <i>H</i> -1,4-benzoxazin-
79	5(47)-one 5(47)-one 5(47)-one 5(47)-one
80	6-(1-hydroxy-3-oxo-2-{3-[(phenylmethyl)oxy]phenyl}-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-one
81	3-[1-hydroxy-3-oxo-1-(3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)-1,3-dihydro-2H-isoindol-2-
82	yl]benzamide 6-{1-hydroxy-2-{3-(hydroxymethyl)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-2 <i>H</i> -1,4-
83	benzoxazin-3(4H)-one 6-[2-(2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-
84	3(4H)-one 3-hydroxy-3-[2-(methylamino)-1H-benzimidazol-5-yl]-2-(phenylmethyl)-2,3-dihydro-1H-
85	isoindol-1-one 6-(2-biphenyl-3-yl-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -1,4-benzoxazin-3(4 <i>H</i>)-
86	one 6-(2-{3-[(dimethylamino)methyl]phenyl}-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-2 <i>H</i> -
87	1,4-benzoxazin-3(4H)-one 6-[2-(3,5-dichlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-
88	3(4H)-one 6-(1-hydroxy-3-oxo-2-piperidin-4-yl-2,3-dihydro-1H-isoindol-1-yl)-2H-1,4-benzoxazin-3(4H)-
89	one 6-[2-(3-{[2-(dimethylamino)ethyl]oxy}phenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-
90	2H-1,4-benzoxazin-3(4H)-one 6-[1-hydroxy-2-(2-methylphenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-
91	3(4H)-one N-methyl-2-[(3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)carbonyl]-N-phenylbenzamide
92	methyl {5-{1-(ethyloxy)-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}earbamate
93	benzimidazoi-z-yrjearuamate Phenylmethyl 2-{(2-{{(methyloxy)carbonyl]amino}-1}H- benzimidazoi-5-y)carbonyl]benzoate
94	3-hydroxy-3-(1H-indazol-5-yl)-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-one
95	3-hydroxy-3-(1H-indazol-6-yl)-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-one
96	ethyl (5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazoi-2-
97	2-methylpropyl {5-{1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1/H-isoindoi-1-yl]-1/H-
98	methyl (5-[1-hydroxy-3-oxo-2-(2-thienylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-
99	methyl (5-[1-hydroxy-3-oxo-2-(2-phenylethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-oenzimidazor-
100	3-[2-amino-1-(1,1-dimethylethyl)-1H-benzimidazol-5-yl]-3-hydroxy-2-(pnenylmethyl)-2,3-
101	3-(2-amino-1 <i>H</i> -benzimidazol-5-yl)-3-hydroxy-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-one
102	methyl [5./1-bydrovy-3-oxo-2 3-dibydro-1H-isoindol-1-yl)-1H-benzimidazol-2-yl]carbamate
103	3-(methyloxy)butyl (5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-
104	methyl (5-{1-hydroxy-3-oxo-2-[(1R)-1-phenylethyl]-2,3-dihydro-1H-isoindol-1-yl}-1H-
105	benzimidazol-2-yl/patroaniave methyl (5-{1-hydroxy-3-oxo-2-{(1S)-1-phenylethyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> - benzimidazol-2-yl/sarbamate

	Table 6. Representative Raf Inhibitors Name
Entry	2-(methyloxy)ethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
106	
	benzimidazol-2-yl}carbamate methyl {6-{1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1-methyl-1 <i>H</i> -
107	
	prop-2-yn-1-yl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
108	
	but-2-yn-1-yl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-
109	
	1-methylethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
110	
111	benzimidazol-2-yl}carbamate methyl {5-[2-(2,3-dihydro-1 <i>H</i> -inden-2-yl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
111	
112	methyl {5-[1-hydroxy-3-oxo-2-(pyridin-4-ylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
113	methyl {5-[1-hydroxy-3-oxo-2-(pyridin-3-ylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	benzimidazol-2-yl}carbamate methyl (6-{2-[(3-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
114	methyl (6-{2-[(3-fluorophenyl)methyl]-1-nydroxy-3-0x0-2,3-dmydro-13-15-0x0-2
	benzimidazol-2-yl)carbamate methyl {5-{1-hydroxy-2-(3-methylphenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
115	
	benzimidazol-2-yl}carbamate methyl [5-(1-hydroxy-2-{[2-(methyloxy)phenyl]methyl}-3-oxo-2,3-dihydro-1H-isoindol-1-yl)-
116	
	1H-benzimidazol-2-yi caroamate methyl [5-(1-hydroxy-2-{[3-(methyloxy)phenyl]methyl}-3-oxo-2,3-dihydro-1H-isoindol-1-yl)-
117	
	1H-benzimidazoi-2-yi carbamate methyl [5-(1-hydroxy-2-{[4-(methyloxy)phenyl]methyl}-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-
118	
***	1H-benzimidazol-2-yl[carbamate methyl (6-{2-[(4-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-1H-
119	
120	methyl (6-{2-[(3-bromophenyl)methyl]-1-hydroxy-3-oxo-2,3-dinydro-1/1-isoliidol-1-yl]-1/1/
120	
121	methyl (5-{1-hydroxy-2-[(3-iodophenyl)methyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
	benzimidazol-2-yl)carbamate methyl (5-(2-[(3-chlorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -
122	
	benzimidazol-2-yl)carbamate methyl (5-{2-[(2-fluorophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
123	
	benzimidazol-2-yl)carbamate methyl {5-{1-hydroxy-3-oxo-2-(pyridin-2-ylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
124	
	benzimidazol-2-yl}carbamate phenylmethyl {5-{1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
125	
	benzimidazol-2-yl]carbamate 2-fluoroethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
126	benzimidazol-2-yl}carbamate
127	benzimidazol-2-yl}carbamate propyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol
127	
128	2-yl}carbamate methyl (5-{1-hydroxy-2-[4-(methyloxy)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
120	benzimidazol-2-yl)carbamate
129	benzimidazol-2-yl)carbamate methyl (5-{2-[(2-chlorophenyl)methyl}-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
	benzimidazol-2-yl)carbamate methyl (5-{2-((2-bromophenyl)methyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
130	
131	benzimidazol-2-yl)carbamate methyl (5-{1-hydroxy-2-[(3-methylphenyl)methyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
	benzimidazol-2-yl)carbamate methyl (5-{1-hydroxy-2-[(4-methylphenyl)methyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
132	
	benzimidazol-2-yl)carbamate methyl (5-{1-hydroxy-2-{(2-methylphenyl)methyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
133	benzimidazol-2-yl)carbamate

	Table 6. Representative Raf Inhibitors
Entry	Name
134	methyl (5-[2-(3-bromophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
	benzimidazol-2-yl}carbamate methyl {5-{2-(3-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
135	
	benzimidazol-2-yl}carbamate methyl {5-[2-(3-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
136	
	benzimidazol-2-yl}carbamate methyl (5-{1-hydroxy-2-[3-(methyloxy)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
137	
	benzimidazol-2-yl)carbamate methyl {5-[2-(4-bromophenyl)-l-hydroxy-3-oxo-2,3-dihydro-l <i>H</i> -isoindol-l-yl]-l <i>H</i> -
138	
139	methyl (5-[2-(4-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-17-isoindoi-1-yi]-17-
139	
140	benzimidazol-2-yl]carbamate methyl {5-[2-(4-fluorophenyl)-l-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
140	benzimidazol-2-yl]carbamate
141	benzimidazol-2-yl}carbamate methyl {5-[2-(3,5-dimethylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	benzimidazol-2-yl}carbamate methyl {5-[2-(2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
142	methyl (5-[2-(2-fluorophenyl)-1-hydroxy-3-0x0-2,3-dilly dro-177 isomost 777
	benzimidazol-2-yl} carbamate methyl {5-[2-(2-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
143	benzimidazol-2-yl}carbamate
	benzimidazol-2-yl}carbamate methyl {5-[1-hydroxy-2-(2-methylphenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
144	benzimidazol-2-yl) carbamate
	benzimidazol-2-yl}carbamate methyl (5-{1-hydroxy-2-[2-(methyloxy)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
145	benzimidazol-2-yl)carbamate
	benzimidazol-2-yl)carbamate methyl (5-[1-hydroxy-2-(4-methylphenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
146	benzimidazol-2-yl}carbamate
147	benzimidazol-2-yl}carbamate methyl (5-{1-hydroxy-3-oxo-2-{3-(trifluoromethyl)phenyl}-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -methyl (5-{1-hydroxy-3-oxo-2-{3-(trifluoromethyl)phenyl}-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1,3-dihydro-1-yl}-1,3-dih
147	benzimidazol-2-yl)carbamate
148	but-2-yn-1-yl (5-{1-hydroxy-3-oxo-2-[(1R)-1-pnenyietnyi]-2,3-diiiyud-177 issiiide
140	benzimidazol-2-yl)carbamate
149	benzimidazol-2-yl)carbamate N-ethyl-N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-
	benzimidazol-2-yl}urea phenylmethyl (5-{1-hydroxy-3-oxo-2-[(1R)-1-phenylethyl]-2,3-dihydro-1H-isoindol-1-yl}-1H-
150	phenylmethyl (5-{1-hydroxy-3-0x0-2-[(1x)-1-phenylethyl) = 5
	benzimidazol-2-yl)carbamate methyl {6-[2-(3-amino-5-chlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
151	benzimidazol-2-yl}carbamate
	benzimidazol-2-yl}carbamate piperidin-4-ylmethyl (5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i>
152	benzimidazol-2-yl}carbamate
	benzimidazol-2-yl}carbamate methyl {5-[2-(cyclopropylmethyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
153	benzimidazol-2-yl}carbamate
<u> </u>	methyl (5-[2-(2,2-dimethylpropyl)-1-hydroxy-3-0x0-2,3-diffydio-177 isomethyl
154	benzimidazol-2-yl}carbamate
155	methyl {5-[2-(3,5-dichlorophenyl)-1-hydroxy-3-0x0-2,3-diffydio-171-301100117-1
133	benzimidazol-2-yl}carbamate
156	benzimidazol-2-yl}carbamate methyl {5-[2-{3,5-difluorophenyl}-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
1 .50	benzimidazol-2-yl}carbamate N-ethyl-N-(5-{1-hydroxy-3-oxo-2-{(1R)-1-phenylethyl}-2,3-dihydro-1H-isoindol-1-yl}-1H-
157	N-ethyl-N-(5-{1-hydroxy-3-oxo-2-[(1K)-1-pnenyleutyr]-2,3-dm) do 11
	benzimidazol-2-yl)urea N-(5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-
158	N-{5-[1-hydroxy-3-oxo-2-(pnenyimethyl)-2,3-diffyddol
	yl] N,N-dimethylurea methyl {5-[2-(3-{[2-(dimethylamino)ethyl]oxy} phenyl}-1-hydroxy-3-oxo-2,3-dihydro-1H-
159	methyl {5-[2-(3-{[2-(dimethylamino)eutyr)oxyr)oxyr) 1 1 1 1 1 1 1 1 1
	isoindol-1-yl]-1H-benzimidazol-2-yl]caroamate 3-(4-methylpiperazin-1-yl)propyl (6-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-
160	isoindol-1-yl]-1H-benzimidazol-2-yl]carbamate
<u></u>	isoindol-1-yl]-1H-benzimidazot-2-yl}caroamate methyl {5-[2-(cyclohexylmethyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
161	benzimidazol-2-yl}carbamate

	Table 6. Representative Raf Inhibitors
Entry	Name Name
162	methyl (5-[1-hydroxy-2-(2-methylpropyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
102	
163	benzimidazol-2-yl}carbamate methyl {5-[1-hydroxy-3-oxo-2-(1,3-thiazol-2-ylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	benzimidazol-2-yl}carbamate methyl {5-[2-(3,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	benzimidazol-2-yl}carbamate
165	methyl (5-{2-[1-(3,5-difluorophenyl)ethyl]-1-hydroxy-3-6x0-2,3-dinydro-171-isolidol-1-yi)
103	
166	methyl (5-{2-[1-(3-fluorophenyl)ethyl]-1-hydroxy-3-oxo-2,3-dinyaro-177-isoliidoi-1-y1}-177-
100	benzimidazol-2-yl)carbamate
167	benzimidazol-2-yl)carbamate methyl [5-(2-cyclohexyl-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-
107	yilcarbamate yilcarbamate
	yl]carbamate methyl {5-[2-(2,5-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
168	benzimidazol-2-yl}carbamate
	benzimidazol-2-yl}carbamate N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-
169	
	yl}-N-(phenylmethyl)urea piperidin-4-yl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-
170	benzimidazol-2-yl}carbamate
	benzimidazol-2-yl}carbamate N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-
171	yl}-N'-methylurea
	yl}-N-methylurea methyl (5-{2-[1-(2-fluorophenyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-1H- methyl (5-{2-[1-(2-fluorophenyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-1H-
172	benzimidazol-2-yl)carbamate
	benzimidazol-2-yl)carbamate methyl (5-{1-hydroxy-3-oxo-2-[1-(2-thienyl)ethyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
173	benzimidazol-2-yl)carbamate
	benzimidazol-2-yl)carbamate methyl (5-{2-[1-(3-chlorophenyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
174	benzimidazol-2-yl)carbamate
	benzimidazol-2-yl)carbamate methyl (5-{1-hydroxy-2-[3-methyl-5-(trifluoromethyl)phenyl]-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1
175	methyl (5-{1-hydroxy-2-[3-methyl-5-(diffuoionion)-)
	V - H-benzimidazo -2-y)carbamate N-(5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isolndol-1-yl]-1H-benzimidazol-2-
176	N-{5-[1-hydroxy-3-0x0-2-(phenymetriy)-2,5-4m) = 5
	y1}propanamide methyl {5-[2-(3,4-dichlorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
177	methyl {5-[2-(3,4-dichlorophenyi)-1-hydroxy-3-0x0-2,6-2,6-2,6-2,6-2,6-2,6-2,6-2,6-2,6-2,6
	benzimidazol-2-yl}carbamate methyl {5-{2-(3-ethylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -benzimidazo
178	methyl (5-[2-(3-ethylphenyl)-1-nydroxy-3-oxo-2,3-difydia 111
	2-yl}carbamate methyl {5-[2-(3-ethynylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
179	methyl (5-[2-(3-ethynylphenyl)-1-nydroxy-3-0x0-2,5 dinyar-
	benzimidazol-2-yl)carbamate methyl {5-[2-(4-chloro-3-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
180	methyl (5-[2-(4-chloro-3-methylphenyl)-1-nydroxy-3-oxo-als
	benzimidazol-2-yl}carbamate methyl [5-(1-hydroxy-3-oxo-2-{1-[3-(trifluoromethyl)phenyl]ethyl}-2,3-dihydro-1 <i>H</i> -isoindol-1
181	
	methyl (5-{1-hydroxy-3-oxo-2-{(IR)-1-phenylpropyl}-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
182	methyl (5-{1-hydroxy-3-oxo-2-[(1K)-1-phenylpropyr]-2,3-dinydro 111
102	benzimidazol-2-yl)carbamate methyl [5-(1-hydroxy-3-oxo-2-{2-[(trifluoromethyl)oxy]phenyl}-2,3-dihydro-1 <i>H</i> -isoindol-1-yl
183	methyl [5-(1-hydroxy-3-oxo-2-{2-[(trifluoromethyl)oxy)phenyly-2,5 d.h.)
	1H-benzimidazol-2-yl]carbamate methyl {5-{2-(2,3-difluorophenyl}-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
184	methyl (5-[2-(2,3-difluorophenyl)-1-hydroxy-3-oxd-2,3-diflydro 11
107	benzimidazol-2-yl}carbamate
185	benzimidazol-2-yl}carbamate cyclohexyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
103	benzimidazol-2-yl}carbamate 2 w 2 (phenylmethyl)-2 3-dihydro-1H-isoindol-1
186	benzimidazol-2-yl} carbamate tetrahydrofuran-2-ylmethyl {5-{1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1
1 .00	yl]-1H-benzimidazol-2-yl] carbamate
187	cyclopropylmethyl (5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-ullydro-171-1501105-170-170-170-170-170-170-170-170-170-170
	benzimidazol-2-yl}carbamate
	N-15-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dinydro-174-isoliidoi-1-yi]-177 outsiding
188	yl}morpholine-4-carboxamide
	methyl (5-[2-(cyclopentylmethyl)-1-hydroxy-3-0x0-2,3-dillydro-17-3-dilly
189	benzimidazol-2-yl}carbamate

	Table 6. Representative Raf Inhibitors
E-4	Name
Entry	methyl {5-[2-(2,3-dimethylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
190	
	methyl {5-[2-(2,3-dihydro-1 <i>H</i> -inden-1-yl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
191	
100	methyl (2S)-cyclohexyl[1-hydroxy-1-(2-{[(methyloxy)carbonyl]amino}-1 <i>H</i> -benzimidazol-5-yl)-
192	
193	methyl {5-(2-(2,6-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
193	handinidanal 2 vil corbamate
194	methyl (5-[2-(3-chloro-4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
174	handwidged 2 vB carbamate
195	but-3-en-1-yl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	benzimidazol-2-yl} carbamate
196	2,2,2-trifluoroethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	benzimidazol-2-yl}carbamate methyl {5-[2-(5-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
197	
	benzimidazol-2-yl}carbamate methyl (5-{2-[1-(5-chloro-2-methylphenyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-
198	
	yl}-1H-benzimioazoi-z-yl/caroamate methyl (5-{1-hydroxy-3-oxo-2-[(1S)-1-phenylpropyl]-2,3-dihydro-1H-isoindol-1-yl}-1H-
199	
	methyl (5-[2-[1-(3-chloro-2-methylphenyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-
200	
201	yl}-1H-benzimidazoi-2-yl)cardamate methyl (5-{1-hydroxy-2-[1-(5-methyl-2-thienyl)ethyl]-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-1H-
201	
202	methyl (5-{2-[1-(5-chloro-2-thienyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindoi-1-yi}-1H-
202	
203	benzimidazol-2-yl)carbamate methyl {5-[1-hydroxy-2-(3-iodophenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-
	2-yl}carbamate methyl (5-{1-hydroxy-2-[3-(1-methylethyl)phenyl]-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-1H-
204	methyl (5-{1-hydroxy-2-[3-(1-methyletnyl)phenyl]-3-0x0-2,3-dm/dio-111 libraries
	benzimidazol-2-yl)carbamate methyl {5-[2-(furan-2-ylmethyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
205	
	methyl {5-{1-hydroxy-3-oxo-2-(3-thienylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
206	
	methyl {5-[2-(cyclobutylmethyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
207	
208	2.2.2 stiffuoro-2-hydroxy-N-(5-f1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dinydro-17-isoliddi-1-
208	
209	methyl (5-{1-hydroxy-2-[1-(4-methyl-2-thienyl)ethyl]-3-oxo-2,3-dinydro-17-isoladoi-1-y13-171-
	benzimidazol-2-yl)carbamate methyl (5-{2-[1-(4-bromo-2-thienyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
210	
	benzimidazol-2-yl)carbamate methyl {5-[1-hydroxy-2-(3-{[2-(methyloxy)ethyl]oxy}phenyl}-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-
211	
	yl]-1H-benzimidazol-2-yl]carbamare tetrahydrofuran-3-ylmethyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-
212	
	yl]-1H-benzimidazol-2-yl]caroamate N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-
213	
211	yl}piperidine-1-carboxamide methyl {5-[2-(3-bromo-4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
214	
215	2,3-dihydroxypropyl (5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-17-1soindoi-1-yi]-171-
213	
216	methyl {5-[1-hydroxy-3-oxo-2-(tetrahydrofuran-2-ylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	benzimidazol-2-yl}carbamate methyl (5-{2-[3-(aminocarbonyl)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
217	methyl (5-{2-[3-(aminocaroonyi)pnenyi]-1-nydroxy-3-0x0-2,3-dinydro-177 sounds 1 y s
	benzimidazol-2-yl)carbamate

	Table 6. Representative Raf Inhibitors
Entry	Name Name
218	4,4,4-trifluoro-3-hydroxy-N-{5-{1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-
210	
219	yl]-1H-benzimidazol-2-yl}-3-(mituorometny)joutanamine methyl (5-{1-hydroxy-2-[3-(methylsulfonyl)phenyl]-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-1H-
,	
220	benzimidazol-2-yl)caroamate methyl (5-{1-hydroxy-3-oxo-2-[3-(phenyloxy)phenyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
220	
221	benzimidazol-2-y1)caroamate methyl [5-(1-hydroxy-3-oxo-2-{3-[(phenylmethyl)oxy]phenyl}-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-
221	
222	1H-benzimidazol-2-yl]carbamate methyl [5-(2-biphenyl-3-yl-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl)-1H-benzimidazol-2-
222	
223	yl]carbamate 2,2-dimethyl-3-[(phenylmethyl)oxy]propyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-
223	1H-isoindol-1-yl]-1H-benzimidazol-2-yl]carbamate
224	1H-isoindol-1-y]]-1H-benzim(dazol-2-yl) Caroamate methyl {5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
225	benzimidazol-2-yl}caroamate methyl {5-[2-(3-cyanophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
226	benzimidazol-2-yl}carbamate methyl {5-[2-(3-ethynyl-4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
220	
227	benzimidazol-2-yl}carbamate methyl {5-[2-(4-fluoro-3-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
LLI	benzimidazol-2-yl]carbamate
228	benzimidazol-2-yl}carbamate methyl {6-[2-(3,4-dichloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
220	
229	benzimidazol-2-yl}carbamate [(4S)-2,2-dimethyl-1,3-dioxolan-4-yl]methyl {5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-
	dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl]carbamate
230	methyl {5-[2-(5-bromo-2-fluorophenyl)-1-nydroxy-3-0x0-2,3-diffydro-177 foethyl [5-[2-(5-bromo-2-fluorophenyl)-1-nydroxy-3-0x0-2,3-diffydro-177 foethyl [5-[2-(5-bromo-2-fluorophenyl)-1-nydroxy-3-0x0-2,3-diffydro-177 foethyl [5-[2-(5-bromo-2-fluorophenyl]-1-nydroxy-3-0x0-2,3-diffydro-177 foethyl [5-[2-(5-[2-(5-[2-(5-[2-(5-[2-(5-[2-(5-[2-(5-[2-(5-[2-(5-[2-(5-[2-(5-[2-(5-[2-(5-[2-(5-[2-(5-[2-[2-(5-[2-[2-(5-[2-[2-[2-(5-[2-[2-[2-[2-[2-[2-[2-[2-[2-[2-[2-[2-[2-
230	benzimidazol-2-yl}carbamate
231	benzimidazol-2-yl}carbamate methyl (5-{2-[3-(acetylamino)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
231	benzimidazol-2-yl)carbamate
232	benzimidazol-2-yl)carbamate methyl (5-{1-hydroxy-3-oxo-2-{3-(phenylmethyl)phenyl}-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
232	benzimidazol-2-yl)carbamate
233	benzimidazol-2-yl)carbamate methyl (5-{2-[1-(4-chloro-2-thienyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
233	benzimidazol-2-yl)carbamate
234	benzimidazol-2-yl)carbamate methyl (5-{1-hydroxy-3-oxo-2-[3-(phenylcarbonyl)phenyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
234	benzimidazol-2-yl)carbamate
235	methyl [5-(2-{3-[(dimethylamino)methyl]phenyl}-1-nydroxy-3-0x0-2,3-diffydro
233	yl)-1H-benzimidazol-2-yl]carbamate
236	methyl (5-{2-[3-(aminosulfonyl)phenyl]-1-hydroxy-3-0x0-2,3-diffydio-117
230	
237	methyl (5-[2-(3-acetylphenyl)-1-hydroxy-3-oxo-2,3-dinydro-177-isomdol-1 31]
237	benzimidazol-2-yl}carbamate
238	methyl (5-[2-(3-ethyl-4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dinydro-17-150mdot 1 7-]
238	benzimidazol-2-yl}carbamate
220	methyl (5-[2-(3-chloro-5-fluorophenyl)-1-hydroxy-3-0x0-2,3-uniyuro-111 isomethyl
239	benzimidazol-2-yl}carbamate
	benzimidazol-2-yl}carbamate N-{6-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-
240	yl}-2-methylpropanamide
	yl}-2-methylpropanamide methyl (5-{2-[1-(3-chloro-2-thienyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i>
241	benzimidazol-2-yl)carbamate
245	benzimidazol-2-yl)carbamate methyl [5-(1-hydroxy-3-oxo-2-pyridin-3-yl-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-1 <i>H</i> -benzimidazol-2-
242	yl]carbamate
L	yl]carbamate methyl (5-{1-hydroxy-3-oxo-2-[3-(phenylamino)phenyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
243	benzimidazol-2-yl)carbamate
	benzimidazol-2-yl)carbamate methyl {5-[2-(5-bromo-2,4-diffluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1/
244	benzimidazol-2-yl}carbamate
	Denzimioazor z 71) caronimic
245	benzimidazol-2-yl}carbamate methyl {5-{2-(5-chloro-2,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>I</i>

	Table 6. Representative Raf Inhibitors
Entry	Name
246	methyl {5-[2-(3,5-dichloro-4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
240	benzimidazol-2-yl}carbamate
247	2,2-dimethyl-3-(methyloxy)propyl (5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -
	isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate 3-hydroxy-2,2-dimethylpropyl {5-{1-hydroxy-3-oxo-2-{phenylmethyl}-2,3-dihydro-1 <i>H</i> -isoindol-
248	
	methyl (5-{2-[1-(5-bromo-2-thienyl)ethyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
249	
	methyl {5-[2-(4,5-dichloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
250	
251	methyl (5-[2-(3-bromo-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
231	
252	methyl (5-[2-(3-chloro-2,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-171-isoindol-1-yl]-171-
232	benzimidazol-2-yl}carbamate N-{6-{1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-
253	N-{6-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dinydro-171-isoindol-1-yl]-171-benzimdaeo-2
	yl}pent-4-ynamide methyl (6-{1-methyl-3-oxo-2-[3-(trifluoromethyl)phenyl]-2,3-dihydro-1H-isoindol-1-yl}-1H-
254	
	benzimidazol-2-yl)carbamate methyl [5-(1-hydroxy-3-oxo-2-{3-[(1,1,2,2-tetrafluoroethyl)oxy]phenyl}-2,3-dihydro-1 <i>H</i> -
255	
	isoindol-1-yl)-1 <i>H</i> -benzimidazoi-z-yjjcarbaniate methyl {5-[1-hydroxy-3-oxo-2-(3-piperidin-4-ylphenyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
256	
	methyl {5-[2-(3-ethenylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
257	
258	benzimidazol-2-yl}caroamate methyl (5-{2-[3-(dimethylamino)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
238	
259	benzimidazol-2-yl)carbamate 2,2-difluoro-N-{6-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-
237	
260	N-ethyl-N-{6-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
	benzimidazol-2-yl}urea methyl {5-[2-(3-aminophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
261	
	benzimidazol-2-yl}carbamate N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-
262	
	yl}-4-[(phenylmethyl)oxy[butanamide N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-
263	
	yl}-4-piperidin-1-ylbutanamide N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-
264	
265	yl}-4-(4-methylpiperazin-1-y1)butanamide N-{6-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-
265	
266	yl}butanamide methyl {6-[2-(3-bromophenyl}-5,6-dichloro-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i>
200	benzimidazol-2-yl} carbamate
267	benzimidazol-2-yl}carbamate methyl [5-(1-hydroxy-2-{3-(methyl(phenyl)amino)phenyl}-3-oxo-2,3-dihydro-1H-isoindol-1-yl
	1H-benzimidazol-2-yl]carbamate methyl {5-[1-hydroxy-3-oxo-2-(phenylsulfonyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-
268	
	benzimidazol-2-yl}carbamate methyl {5-[(2-[([phenylamino)carbonyl]amino}phenyl)carbonyl]-1H-benzimidazol-2-
269	
	yl}carbamate methyl (5-{[2-({[(phenylmethyl)oxy]carbonyl}amino)phenyl]carbonyl}-1H-benzimidazol-2-
270	
271	methyl [5-({2-[(2-phenylhydrazino)carbonyl]phenyl}carbonyl)-1H-benzimidazol-2-yl]carbamat
	metnyi [3-([2-](2-pitchymytrazino)emosty jp
272	methyl {5-[(2-{[(phenyloxy)amino]carbonyl}phenyl)carbonyl]-1H-benzimidazol-2-yl}carbama
273	but-2-yn-1-yl {5-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
1	benzimidazol-2-yl}carbamate

	Table 6. Representative Raf Inhibitors
Entry	Name
	N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-
274	all 2 minoridin Lularonanamide
076	N-{6-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-
275	vl) propanamide
276	N/(4-fluorophenyl)-2-{[2-(pent-4-ynovlamino)-1H-benzimidazol-6-yl]carbonyl}benzamide
277	4-(diethylamino)-N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-
211	han zimida zol-2-vl\ hutanamide
278	N-{5-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-
	yl)-4-pyrrolidin-1-ylbutanamide 3-piperidin-1-ylpropyl {6-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-
279	147 handimidazal 2 wl} carbamate
	3-(4-methylpiperazin-1-yl)propyl (6-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -
280	i i del 1 ull 1 U benzimidazol-2-vl\carbamate
281	methyl {5-[2-(3-bromophenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-
201	vil carbamate
282	methyl {5-[2-(3-ethynyl-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	benzimidazol-2-yl}carbamate 2-piperidin-1-ylethyl {5-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-
283	2-piperidin-1-ylethyl {5-[2-(4-fluoropnenyi)-1-hydroxy-3-0x0-2,3-dinydro-17-bonidot 1-y-1 1H-benzimidazol-2-yl}carbamate
	methyl (5-[2-(3-chloro-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
284	Liid
285	methyl (5-[2-(5-chloro-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
203	handimideral 2 vill carbomate
286	N-(6-[1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-
	yl}-2,2-dimethyl-3-piperidin-1-ylpropanamide N-{5-[2-(4-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-
287	
	N-{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
288	henzimidazol-2-vI}-4-nineridin-1-vIbutanamide
289	mathyl (6-(17-((nhenylcarbonyl)aminolphenyl)carbonyl)-1H-benzimidazol-2-yl]carbamate
	methyl (5-[1-hydroxy-2-(3-morpholin-4-ylphenyl)-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
290	
291	2-(dimethylamino)ethyl (6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-ox0-2,3-dinydro-17-
251	
292	2-(diethylamino)ethyl (5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -
	isoindol-1-yl]-1H-benzimidazol-2-yl}carbamate 2-piperidin-1-ylethyl {5-[2-{3-chloro-2-fluorophenyl}-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-
293	
294	3-piperidin-1-ylpropyl (6-f2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dinydro-17-
294	
295	2-piperidin-1-ylethyl (6-[2-(3-bromophenyl)-3-oxo-2,3-dihydro-1H-isoindoi-1-yl]-1H-
	benzimidazol-2-yl}carbamate methyl {6-[2-(3-bromophenyl)-4,7-difluoro-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
296	
	benzimidazol-2-yl}carbamate 2-[methyl(phenylmethyl)amino]ethyl {5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-
297	
298	methyl {5-[1-hydroxy-3-oxo-2-(3-pyrrolidin-1-ylphenyl)-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
298	
299	methyl {5-[2-(5-chloro-2,3-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	benzimidazol-2-yl}carbamate methyl {5-[1-hydroxy-3-oxo-2-(pyrrolidin-2-ylmethyl}-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
300	methyl {5-[1-hydroxy-3-oxo-2-{pyrrolidin-2-yimediyiy-2,3-diiyddo-177-isolidol-1-yi]-177-
	benzimidazol-2-yl}carbamate methyl {5-[1-hydroxy-3-oxo-2-(pyrrolidin-3-ylmethyl]-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
301	benzimidazol-2-yl}carbamate
	7.7.

	Table 6. Representative Raf Inhibitors
Enter	Name
Entry	(1-methylpiperidin-2-yl)methyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-
302	111::-4-11 13 1 U benzimidazol-2-vB carbamate
	[(2S)-1-methylpytrolidin-2-yl]methyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-
303	a:ba. 1 tr incindal 1 vtl-1 H-benzimidazol-2-vtl carbamate
	octabudro-2H-quinolizin-1-ylmethyl {6-{2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-
304	Charles v. Carles 1 and 1 W hornimidazol-2-vl3 carbamate
	methyl {5-[2-(5-bromo-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
305	
	5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1,3-dihydro-2 <i>H</i> -
306	hardendama 2 and
307	methyl {5-[2-(3-bromo-2,5-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
307	
308	2-morpholin-4-ylethyl (6-I2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-0x0-2,3-dinydro-171-
300	
309	isoindol-1-yl]-1/f-benzimidazor-2-yr)carbantare (1-methylpiperidin-3-yl)methyl {6-{2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-
307	
310	1H-isoindol-1-yl]-1H-benzimidazoi-2-yl)carbamate methyl (5-{2-[5-chloro-2-(methyloxy)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-
3.0	
311	1H-benzimidazo1-2-y1)caroamate methyl [5-(2-{3-[cyclohexyl(methyl)amino]phenyl}-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-
	1-yl)-1H-benzimidazol-2-yl]carbamate 8-azabicyclo[3.2.1]oct-3-ylmethyl (6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-
312	8-azabicyclo[3.2.1]oct-3-ylmethyl {0-[2-(3-chloro-2-huorophenyl)-1-hydroxy-3-oxo-3/s
	dihydro-1H-isoindol-1-yl]-1H-benzimidazol-2-yl}carbamate
313	methyl {6-[1-(3-bromophenyl)-5-oxopyrrolidin-2-yl]-1H-benzimidazol-2-yl}carbamate
314	methyl (6-[1-(3-broint)phethyl) 5-(1-hydroxy-3-oxo-2-(phenylmethyl)-2,3-dihydro-1 <i>H</i> -isoindol-
314	
315	
313	
316	1H-benzimidazol-2-yl)amino/caroonyloxy/methylypp-remove (1-methylpiperidin-4-yl)methyl {5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-
	H-isoindol-1-y]-1H-benzimidazol-2-yl]-carbamate 2-(1-methylpiperidin-4-yl)ethyl {5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-2-fluorophenyl
317	2-(1-methylpiperidin-4-yl)ethyl (3-[2-(3-thiolog2-hologopiesyy) + H) = 1H isoindol-1-yl]-1 H-benzimidazol-2-yl) carbamate
	1H-isoindol-1-yl]-1H-benzimidazol-2-yl]caroamate methyl ({6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
318	
	benzimidazol-2-yl}amino)(oxo)acetate N-(5-{1-hydroxy-3-oxo-2-{3-(phenyloxy)phenyl}-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-1 <i>H</i> -
319	benzimidazol-2-yl)-4-piperidin-1-ylbutanamide
	benzimidazol-2-yl)-4-piperidin-1-ylbutanamide methyl {6-[2-(3-bromophenyl)-1-methyl-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -benzimidazol-
320	2-yl)carbamate
	4 (diathylamino)hut-2-vn-1-vl (6-[2-(3-ch]oro-2-fluorophenyl)-1-nydroxy-3-0x0-2,3-diffyd-
321	1H-isoindol-1-yl]-1H-benzimidazol-2-yl]carbamate
322	1H-isoindol-1-yl]-1H-benzimidazol-2-yl]caroamate methyl {5-[2-(3-chloro-2,6-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
322	benzimidazol-2-yl}carbamate
323	2 (2-exempted idin-1-yl)ethyl (6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-0x0-2,3-dmydro-17-
323	
324	isoindol-1-yl]-1 <i>H</i> -benzimidazoi-z-yı]caroaniate 2-(2,5-dioxopytrolidin-1-yl)ethyl (6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-
52.	1H-isoindol-1-yi]-1H-benzimidazol-2-yi)carbamate 2,2,3,3-tetrafluorocyclobutyl {5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-
325	
	isoindol-1-y]]-1H-benzimidazol-2-y]}carbamate 1-acetyl-N-{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
326	
	h-{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
327	
	benzimidazol-2-ylf cyclobutanecarobxantue methyl [5-(2-{3-[ethyl(phenyl)amino]phenyl}-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl)-
328	
	N (6 12 (3 chloro-2-fluoronhenyl)-1-hydroxy-3-oxo-2,3-dihydro-171-isoindoi-1-yij-171-
329	benzimidazol-2-yl}-2,2-difluorocyclopropanecarboxamide

	Table 6. Representative Raf Inhibitors
Entry	Name
330	cyclobutyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
330	ti ti 13 di makamata
331	2.2-difluoroethyl (6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-
	yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate
332	2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-[2-(pyridin-2-ylamino)-1 <i>H</i> -benzimidazol-5-yl]-2,3-
	dihydro-1 <i>H</i> -isoindol-1-one 1-methylethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-
333	177 handinidagal 2 villearhamate
	cyclopropylmethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-
334	vil 1 U hanzimidazol-2-ul\carbamate
335	N-15-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
333	t ii
336	2-(methyloxy)ethyl {5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -iso indol-
	1-yl]-1H-benzimidazol-2-yl)carbamate
337	tetrahydrofuran-2-ylmethyl (6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -
	isoindol-1-yl]-1 <i>H</i> -benzimidazol-2-yl}carbamate N-{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
338	hamilton 1 2 vil 2 (2 thienvil) acetamide
	methyl {6-[2-(3-chloro-2-fluorophenyl)-4,7-difluoro-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-
339	1 . 13 1 f f t
340	ethyl [6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
340	himidagal 2 vil) carbarrate
341	2-fluoroethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-
	1H-benzimidazol-2-yl}carbamate methyl (5-{1-hydroxy-3-oxo-2-{2-(phenyloxy)phenyl}-2,3-dihydro-1H-isoindol-1-yl}-1H-
342	
	N-{5-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
343	
344	cyclobutylmethyl {6-{2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-17-isoinuo-1-
344	
345	2,2,2-trifluoroethyl {6-[2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-
	$ \frac{1-yl]-1H-\text{benzimidazol-2-yl}\text{carbamate}}{\text{methyl}(5-\{2-\{3-\{1,1-\text{dimethylethyl})\text{phenyl}\}-1-\text{hydroxy-3-oxo-2,3-dihydro-1}H-\text{isoindol-1-yl}\}-1H-\text{methylethyl})} $
346	
	methyl (6-[2-(3-chloro-2-fluorophenyl)-7-fluoro-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-
347	
348	yl]-1H-benzimidazol-2-yl]-caroamate 2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-[2-(phenylamino)-1H-benzimidazol-5-yl]-2,3-dihydro-
340	
349	1H-isoindol-1-one methyl {6-[4,7-dichloro-2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-
	1-yl]-1H-benzimidazol-2-yl}carbamate
350	phenylmethyl 2-[(2-{[(cthyloxy)carbonyl]amino}-1,3-benzoxazol-5-yl)carbonyl]benzoate
351	methyl (5-[2-(5-chloro-3-ethynyl-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-
551	y]]-1H-benzimidazol-2-yl}carbamate methyl {5-[2-(5-ethynyl-2,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-
352	
	IH-benzimidazol-2-yl}carbamate methyl {5-[2-(3-ethynyl-2,4-difluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-
353	
354	1H-benzimidazoi-2-yi]Caroamate 2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-[2-(pyrimidin-2-ylamino)-1H-benzimidazol-5-yl]-2,3-
354	
355	methyl (5-[2-(3-ethynyl-2-fluorophenyl)-4,7-difluoro-1-hydroxy-3-oxo-2,3-dinydro-177-isoliidoi-
	1-yl]-1H-benzimidazol-2-yl}carbamate 2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-[2-(1,3-thiazol-2-ylamino)-1H-benzimidazol-5-yl]-2,3-
356	
	dihydro-1 <i>H</i> -isoindol-1-one ethyl {5-{2-(3-chloro-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1,3-
357	benzoxazol-2-yl}carbamate
	Output - 1.1

	Table 6. Representative Raf Inhibitors
Entry	Name
	methyl {5-[2-(5-chloro-3-iodo-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-
358	
359 360	methyl {5-[2-(3-ethyl-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	benzimidazol-2-yl}carbamate methyl {5-[2-(5-ethynyl-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	benzimidazol-2-yl/carbamate 2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-[2-(pyrazin-2-ylamino)-1 <i>H</i> -benzimidazol-5-yl]-2,3-
361	
	dihydro-1 <i>H</i> -isoindol-1-one methyl {5-[2-(2-fluoro-3-iodophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
362	
	benzimidazol-2-yl}carbamate methyl {6-[2-(5-ethynyl-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
363	methyl (6-[2-(5-ethynyi-2-fluoropnenyi)-1-nyuroxy-3-0x0-2,3-dailyddo 111 ddinaethyl (6-[2-(5-ethynyi-2-fluoropnenyi)-1-nyuroxy-3-dailyddo 111 ddinaethyl (6-[2-(5-ethynyi-2-fluoropnenyi)-1-nyuroxy-3-dailyddo 111 ddinaethyl (6-[2-(5-ethynyi-2-fluoropnenyi)-1-nyuroxy-3-dailyddo 111 ddinaethyl (6-[2-(5-ethynyi-2-fluoropnenyi)-1-1-1-1-1-1-1-1-1-1-1-1-1-1-1-1-1-1-1
	benzimidazol-2-yl}carbamate 2-(3-ethynyl-2-fluorophenyl)-3-hydroxy-3-[2-(pyrimidin-2-ylamino)-1 <i>H</i> -benzimidazol-5-yl]-2,3-
364	2-(3-ethynyl-2-fluorophenyl)-3-hydroxy-3-[2-(pyrimidii-2-yiaiiiiio)-117-benziiiiio
	dihydro-1H-isoindol-1-one
365	methyl {5-[2-(2,5-dimethylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
	benzimidazol-2-yl}carbamate 2 2 4ibudeo 1 H isoindol-1-yll-1 H-
366	benzimidazol-2-yl}carbamate methyl {5-[2-(3-ethenyl-2-fluorophenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
500	benzimidazol-2-yl}carbamate
367	benzimidazol-2-y1{carbamate methyl (6-{2-[2-fluoro-3-(methyloxy)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-
307	
368	1H-benzimidazol-2-yl)carbamate methyl (5-{1-hydroxy-2-[2-methyl-5-(methyloxy)phenyl]-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-
300	
369	methyl (5-12-(3-ethynyl-2-fluorophenyl)-7-fluoro-1-nydroxy-3-0x0-2,3-dulydro-17-35-alast
309	
200	methyl (5-12-(2-fluoro-3-prop-1-yn-1-ylphenyl)-1-hydroxy-3-oxo-2,3-dinydro-171-isoliddi-171-isol
370	1H-benzimidazol-2-yl}carbamate
	methyl (5-[2-(5-chloro-2-methylphenyl)-7-fluoro-1-hydroxy-3-oxo-2,3-dihydro-17-isoliido-1-
371	yl]- H-benzimidazol-2-yl] carbamate
	yl]- H-benzimidazol-2-yl}carbamate methyl {5-[2-(3-ethynyl-2-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
372	benzimidazol-2-yl}carbamate
	benzimidazol-2-yl}carbamate 3-hydroxy-2-[3-(methyloxy)phenyl]-3-[2-(pyrimidin-2-ylamino)-1H-benzimidazol-6-yl]-2,3-
373	dihydro-1H-isoindol-1-one
	dihydro-1 <i>H</i> -isoindol-1-one 3-hydroxy-2-(3-methylphenyl)-3-[2-(pyrimidin-2-ylamino)-1 <i>H</i> -benzimidazol-6-yl]-2,3-dihydro-
374	1H-isoindol-1-one
	1H-isoindol-1-one 2-(5-chloro-2-methylphenyl)-3-hydroxy-3-[2-(pyrimidin-2-ylamino)-1H-benzimidazol-6-yl]-2,3-
375	dihydro-1 <i>H</i> -isoindol-1-one
	dihydro-1 <i>H</i> -isoindol-1-one methyl {6-[2-(5-chloro-2-methylphenyl)-4,7-difluoro-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-
376	1-yl]-1H-benzimidazol-2-yl] carbamate
	1-yl]-1H-benzimidazol-2-yl]carbamate methyl {5-[2-(3-ethynyl-2-fluorophenyl)-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-benzimidazol-
377	
<u> </u>	2-yl}carbamate 2-(3-chloro-2-fluorophenyl)-3-{2-[(6-chloropyridazin-3-yl)amino]-1 H-benzimidazol-5-yl}-3-
378	
	2 (2 -bloro 2-fluorophenyl) 4 7-difluoro-3-hydroxy-3-[2-(pyrimidii-2-ylainiio)-172
379	benzimidazol-5-yl]-2,3-dihydro-1 <i>H</i> -isoindol-1-one
	benzimidazol-5-yl]-2,3-dihydro-1 <i>H</i> -isoindol-1-one methyl {5-[2-(2-fluoro-5-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl]-1 <i>H</i> -
380	benzimidazol-2-yl}carbamate
	benzimidazol-2-yl}carbamate methyl (5-{2-[2-fluoro-5-(methyloxy)phenyl]-1-hydroxy-3-oxo-2,3-dihydro-1 <i>H</i> -isoindol-1-yl}-
381	1H-benzimidazol-2-yl)carbamate
	1H-benzimidazol-2-yl)carbamate methyl (5-{1-hydroxy-2-[5-methyl-2-(methyloxy)phenyl]-3-oxo-2,3-dihydro-1H-isoindol-1-yl}-
382	1H-benzimidazol-2-yl)carbamate
383	1H-benzimidazol-2-yl)carbamate methyl {5-[2-(3-ethynyl-5-methylphenyl)-1-hydroxy-3-oxo-2,3-dihydro-1H-isoindol-1-yl]-1H-
	benzimidazol-2-yl} carbamate 2-(3-chloro-2-fluorophenyl)-3-{2-((5-chloropyrimidin-2-yl)amino]-1H-benzimidazol-5-yl}-3-
384	2-(3-chloro-2-fluoropnenyi)-3-(2-(1-chloropy) fillioni 2 yi)
	hydroxy-2,3-dihydro-1 <i>H</i> -isoindol-1-one 2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-{2-[(4-methylpyrimidin-2-yl)amino]-1 <i>H</i> -benzimidazo
385	2-(3-chloro-2-fluorophenyl)-3-hydroxy-3-{2-[(4-metalylpy-mindia: 2-y-,